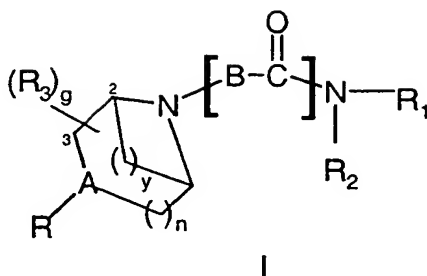


We claim:

1. A compound of the formula (I):



wherein

A is CH or N;

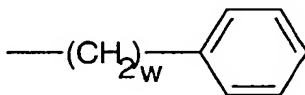
n is 1 or 2;

when n is 1, y is 0 or 2;

when n is 2, y is 0;

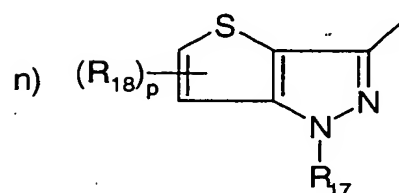
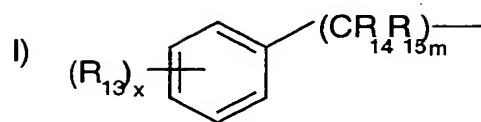
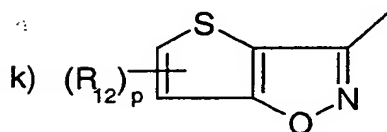
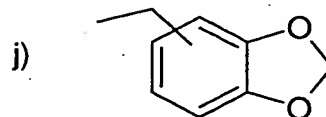
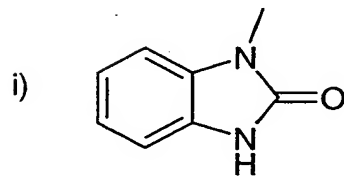
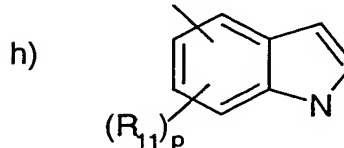
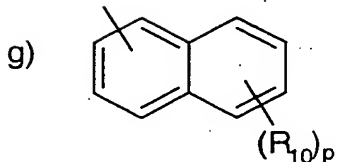
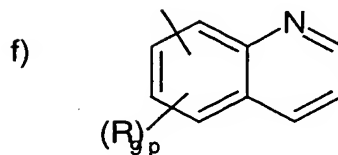
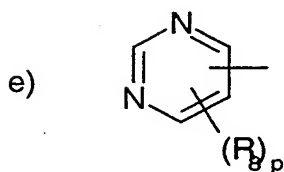
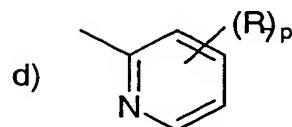
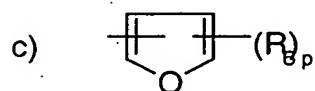
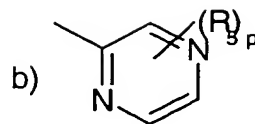
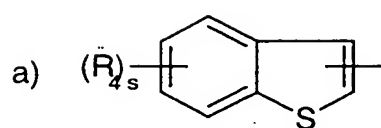
g is 1 or 2;

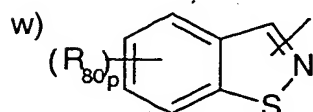
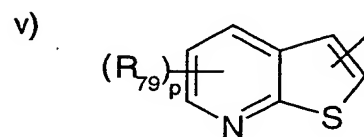
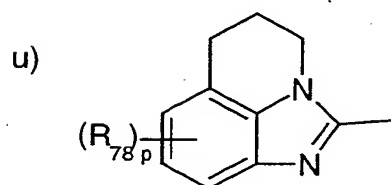
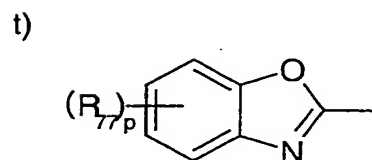
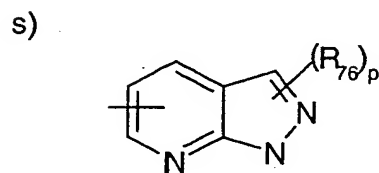
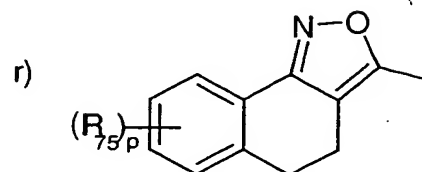
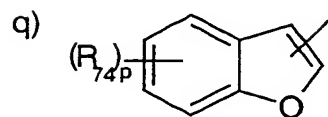
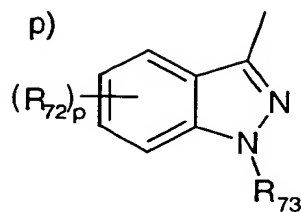
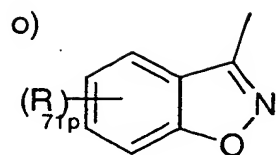
each R₃ is independently hydrogen, C₁-C₆alkyl, or



wherein w is 1, 2, or 3;

R is selected from the group consisting of (a) – (w):



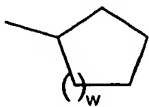


wherein

each R_4 , R_5 , R_6 , R_7 , R_8 , R_9 , R_{10} , R_{11} , R_{12} and R_{18} is independently hydrogen, C_1 - C_6 alkyl, halogen, trifluoromethyl, $-CO_2C_1$ - C_6 alkyl or $-CH_2OC_1$ - C_6 alkyl;

each R_{71} , R_{72} , R_{74} and R_{80} is independently hydrogen, C_1 - C_6 alkyl, C_1 - C_6 alkoxy, halogen, trifluoromethyl, $-CO_2C_1$ - C_6 alkyl or $-CH_2OC_1$ - C_6 alkyl;

R₇₃ is hydrogen, alkyl, pyridyl, benzyl, -CH₂CF₃, -CO₂C₁-C₆alkyl, phenyl optionally substituted with halogen, trifluoromethyl, trifluoromethoxy or R₇₃ is



wherein w is 1, 2 or 3 as hereinbefore defined;

each R₇₅ is hydrogen, halogen, C₁-C₆alkyl or C₁-C₆alkoxy;

each R₇₆ is hydrogen, halogen, -CN or C₁-C₆alkyl;

each R₇₇ is hydrogen, halogen, C₁-C₆alkyl or C₁-C₆alkoxy;

each R₇₈ hydrogen, halogen, C₁-C₆alkyl or C₁-C₆alkoxy;

each R₇₉ hydrogen, halogen, C₁-C₆alkyl or C₁-C₆alkoxy;

p, s and x are 0, 1, or 2;

each R₁₃ is independently hydrogen, C₁-C₆alkyl, halogen, benzyl, trifluoromethyl, C₁-C₆alkoxy, nitro, -CN, or -COC₁-C₆alkyl;

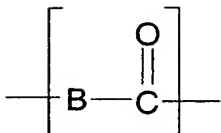
R₁₆ is C₁-C₆alkyl;

each R₁₄ and R₁₅ is independently hydrogen or C₁-C₆alkyl;

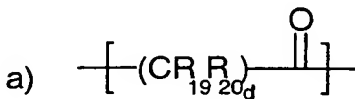
R₁₇ is hydrogen, C₁-C₆alkyl, Ar, -COAr, -CONHAr or -SO₂-Ar wherein

Ar is a phenyl group which is optionally mono- or di-substituted with substituents independently selected from C₁-C₆alkyl, halogen, trifluoromethyl, C₁-C₆alkoxy, nitro, CN and COC₁-C₆alkyl; and

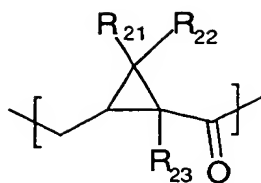
m is 0, 1, or 2;



represents a group selected from (a) - (f):

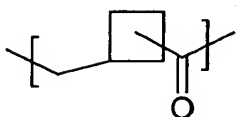


b)

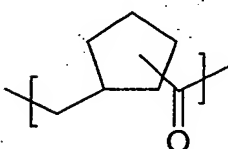


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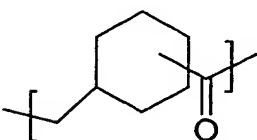
(c)



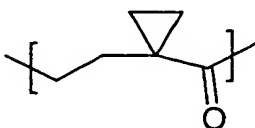
(d)



(e)



(f)



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wherein

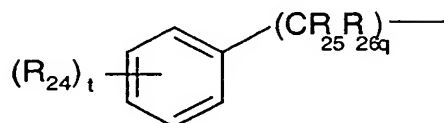
each R_{19} and R_{20} is independently hydrogen, hydroxy or C_1 - C_6 alkyl;

R_{21} , R_{22} , and R_{23} are each independently hydrogen or C_1 - C_3 linear alkyl; and

d is 3 or 4;

20

- R_1 is a) hydrogen;
 b) C_1 - C_6 alkyl optionally mono- or di-substituted with hydroxy; or
 c)



wherein

each R_{24} is independently hydrogen or C_1 - C_6 alkyl;

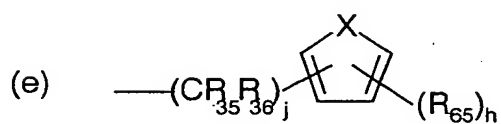
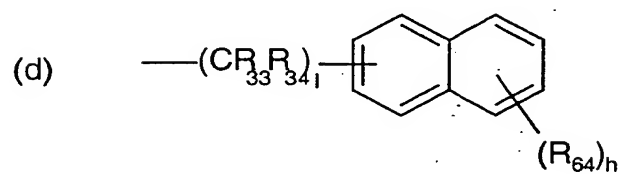
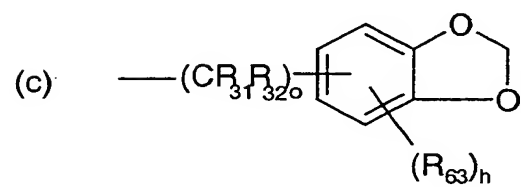
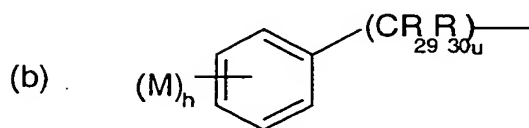
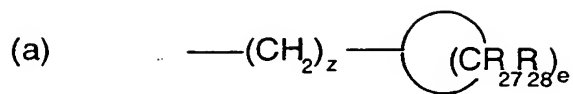
each R_{25} , and R_{26} is independently hydrogen or C_1 - C_6 alkyl;

t is 0 or 1; and

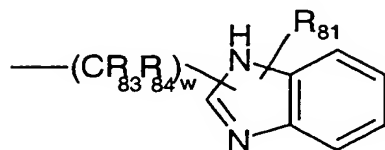
q is 0 or 1;

R_2 is a group selected from (a) – (j):

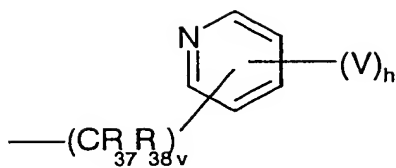
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(f)

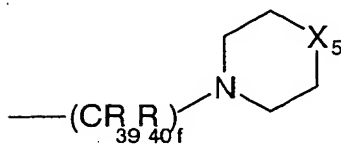


(g)



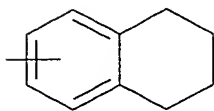
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(h)



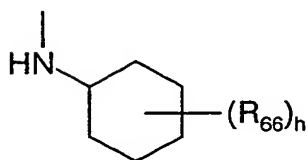
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(i)



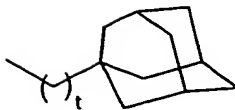
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(j)



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(k)



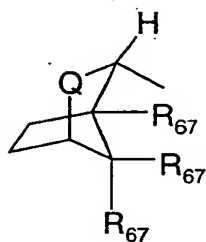
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(l)



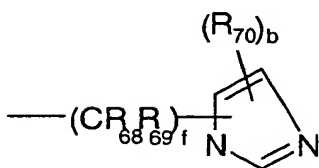
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(m)

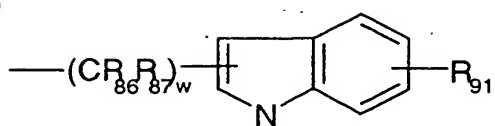


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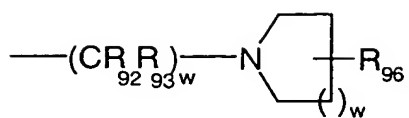
(n)



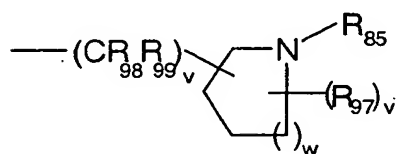
o)



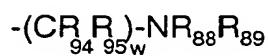
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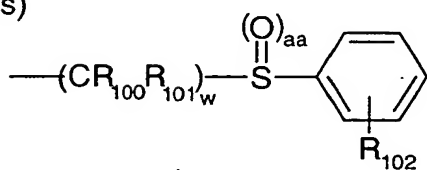
q)



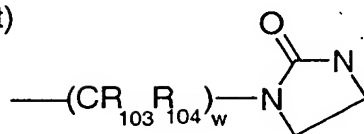
r)



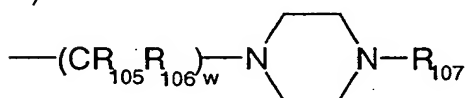
s)



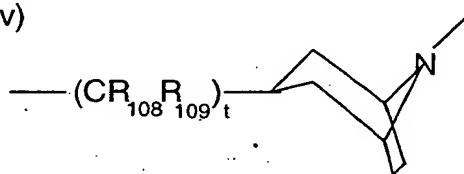
t)



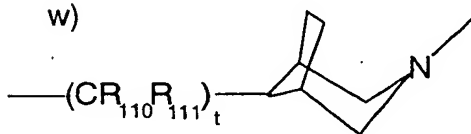
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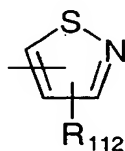
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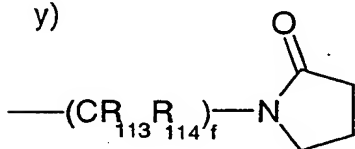
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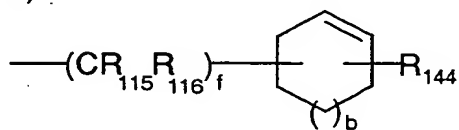
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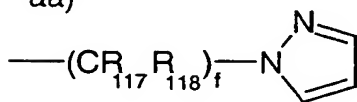
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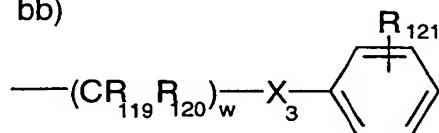
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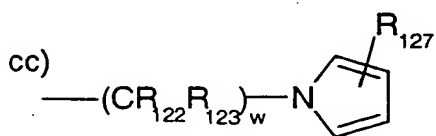
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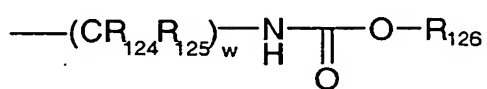
bb)



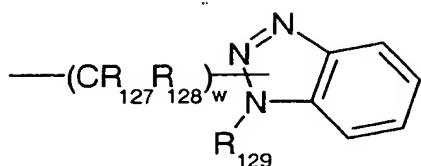
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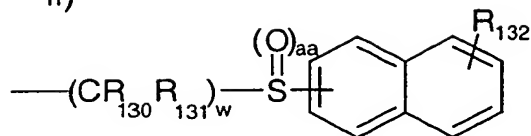
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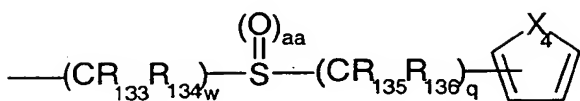
ee)



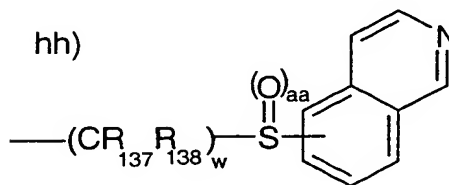
ff)



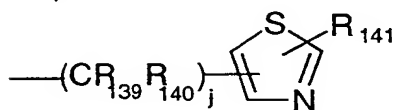
gg)



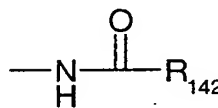
hh)



ii)



jj)



wherein

each R₂₇ and R₂₈ is independently selected from:

- (1) hydrogen;
- (2) C₁-C₆alkyl;
- (3) C₁-C₆alkoxy;
- (4) -CO₂-R₄₃ wherein R₄₃ is hydrogen or C₁-C₆alkyl;
- (5) hydroxy;
- (6) -(CH₂)_a-OR₄₄ wherein a is 1, 2 or 3 and R₄₄ is hydrogen or C₁-C₆alkyl;
- (7) -(CO)-NR₄₅R₄₆

wherein R₄₅ and R₄₆ are each independently hydrogen, C₁-C₂alkyl, or R₄₅ and R₄₆ taken together form a 5-membered monocyclic ring;

z is 0 or 1;

e is 2, 3, 4, 5, 6 or 7;

h is 0, 1, 2 or 3;

u is 0, 1, 2, 3 or 4;

o is 0 or 1;

l is 0 or 1;

j is 0, 1, 2 or 3;

v is 0, 1, 2, 3 or 4;

w is 1, 2 or 3 as hereinbefore defined;

f is 1, 2, 3 or 4;

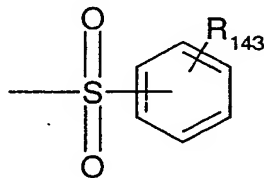
t is 0 or 1 as hereinbefore defined;

b is 0, 1 or 2;

q is 0 or 1 as hereinbefore defined;

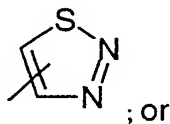
aa is 0 or 2;

X is O, S or NR_{90} wherein R_{90} is hydrogen, $\text{C}_1\text{-C}_6$ alkyl, or



wherein R_{143} is hydrogen or alkyl;

each M and V is a group independently selected from hydrogen, halogen, $\text{C}_1\text{-C}_6$ alkyl, $\text{C}_1\text{-C}_6$ alkoxy, trifluoromethyl, hydroxy, phenyl, phenoxy, $-\text{SO}_2\text{NH}_2$ or



or $-\text{NR}_{48}\text{R}_{49}$ wherein R_{48} and R_{49} are each independently hydrogen or $\text{C}_1\text{-C}_2$ alkyl;

each R_{31} , R_{32} , R_{33} , R_{34} , R_{35} , R_{36} , R_{37} , R_{38} , R_{39} , R_{40} , R_{68} , and R_{69} is independently hydrogen or $\text{C}_1\text{-C}_6$ alkyl;

each R_{29} , R_{30} is independently hydrogen, phenyl or $\text{C}_1\text{-C}_6$ alkyl;

each R_{83} , R_{84} , R_{86} , R_{87} , R_{88} , R_{89} , R_{92} , R_{93} , R_{98} , R_{99} , R_{94} , R_{95} , R_{100} , R_{101} , R_{103} , R_{104} , R_{105} , R_{106} , R_{108} , R_{109} , R_{110} , R_{111} ,

R_{113} , R_{114} , R_{115} , R_{116} , R_{117} , R_{118} , R_{119} , R_{120} , R_{122} , R_{123} ,
 R_{124} , R_{125} , R_{127} , R_{128} , R_{130} , R_{131} , R_{133} , R_{134} , R_{135} , R_{136} ,
 R_{137} , R_{138} , R_{139} and R_{140} is independently hydrogen or C_1 -
 C_6 alkyl;

each R_{63} , R_{64} and R_{65} is independently hydrogen, halogen,
 C_1 - C_6 alkyl or C_1 - C_6 alkoxy;

each R_{66} is independently hydrogen, hydroxy,
 C_1 - C_6 alkyl or C_1 - C_6 alkoxy;

Q is CH_2 , $CHOH$ or $C=O$;

X_5 is O or S ;

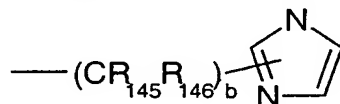
each R_{67} is independently hydrogen or C_1 - C_6 alkyl;

R_{70} is hydrogen, C_1 - C_6 alkyl, halogen, nitro or a phenyl
group optionally mono-substituted with C_1 - C_6 alkyl,
halogen or trifluoromethyl;

R_{81} is hydrogen, C_1 - C_6 alkyl, or $-CO_2C_1$ - C_6 alkyl;

R_{91} is hydrogen, halogen, C_1 - C_6 alkyl or C_1 - C_6 alkoxy;

R_{96} is hydrogen, C_1 - C_6 alkyl or



wherein R_{145} and R_{146} are each
independently hydrogen or
 C_1 - C_6 alkyl and b is 0, 1 or 2
as hereinbefore defined;

R_{97} is hydrogen or C_1 - C_6 alkyl;

each R_{102} is independently hydrogen, halogen,
 C_1 - C_6 alkyl or C_1 - C_6 alkoxy;

R_{107} is hydrogen or C_1 - C_6 alkyl;

each R_{121} is independently hydrogen, halogen,
 C_1 - C_6 alkyl or C_1 - C_6 alkoxy;

R_{127} is hydrogen or C_1 - C_6 alkyl;

R_{126} is C_1 - C_6 alkyl or benzyl;

R_{129} is hydrogen or C_1 - C_6 alkyl;

R_{132} is hydrogen, C_1 - C_6 alkyl, halogen or C_1 - C_6 alkoxy;

X_3 is O or $-NR_{127}$ wherein R_{127} is hydrogen or

C_1 - C_6 alkyl;

X_4 is O, S or $-NR_{143}$ wherein R_{143} is hydrogen or

C_1 - C_6 alkyl;

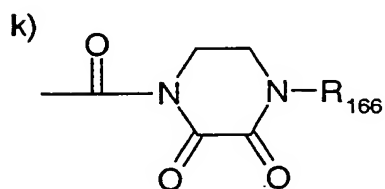
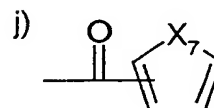
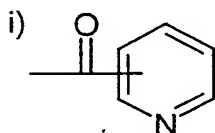
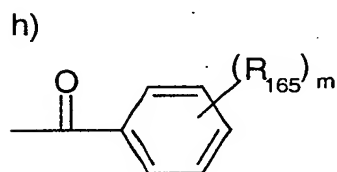
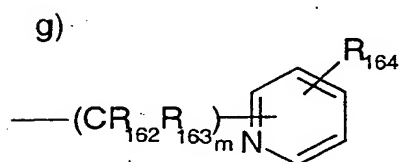
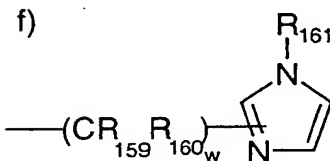
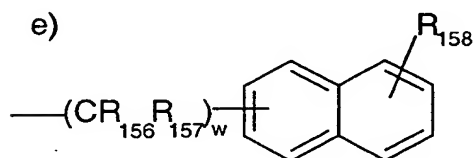
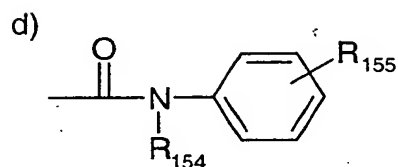
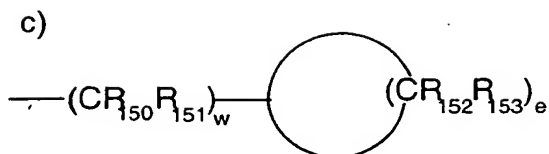
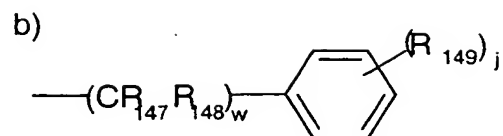
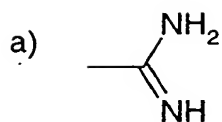
R_{141} is hydrogen, C_1 - C_6 alkyl or amino;

R_{142} is benzyl or phenyl each of which may be optionally substituted with C_1 - C_6 alkyl, halogen or C_1 - C_6 alkoxy;

R_{144} is hydrogen or C_1 - C_6 alkyl;

R_{85} is hydrogen, C_1 - C_6 alkoxy, C_1 - C_6 alkyl, $-\text{CO}_2C_1$ - C_6 alkyl,

$\text{C}(\text{O})C_1$ - C_6 alkyl or a group selected from the following:



wherein

j is 0, 1, 2 or 3 as hereinbefore defined;

w is 1, 2 or 3 as hereinbefore defined;

m is 0, 1 or 2 as hereinbefore defined;

e is 2, 3, 4, 5, 6 or 7 as hereinbefore defined;

each R_{147} , R_{148} , R_{150} , R_{151} , R_{152} , R_{153} , R_{156} , R_{157} , R_{159} , R_{160} , R_{162} and R_{163} is independently hydrogen or C_1 - C_6 alkyl;

R_{149} is hydrogen, halogen, C_1 - C_6 alkyl, phenoxy, trifluoromethyl or trifluoromethoxy;

R_{155} is hydrogen, halogen or C_1 - C_6 alkyl;

R_{158} is hydrogen or C_1 - C_6 alkyl;

R_{161} is hydrogen or C_1 - C_6 alkyl;

R_{164} is hydrogen, halogen, C_1 - C_6 alkyl or trifluoromethyl;

R_{165} is hydrogen, C_1 - C_6 alkyl or halogen;

X_7 is O or S or $-NR_{167}$ wherein R_{167} is hydrogen or C_1 - C_6 alkyl;

R_{166} is hydrogen or C_1 - C_6 alkyl;

or R_1 and R_2 are joined together to form a 5-, 6-, or 7-membered monocyclic saturated ring, and in which the ring is optionally mono- or di-substituted, the substituents independently selected from:

(1) C_1 - C_6 alkyl;

(2) $-\text{CO}_2$ -(C_1 - C_6 alkyl);

(3) $-\text{NR}_{50}\text{R}_{51}$ wherein R_{50} and R_{51} are each independently hydrogen, C_1 - C_6 alkyl, or a phenyl group which is optionally mono- or disubstituted with substituents independently selected from C_1 - C_6 alkyl, halogen or trifluoromethyl;

(4) $-\text{C}(\text{O})\text{phenyl}$ wherein the phenyl group is optionally mono- or disubstituted with substituents independently selected from C_1 - C_6 alkyl, halogen or trifluoromethyl;

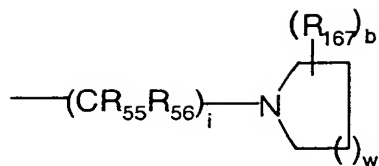
(5) $-(\text{CH}_2)_m\text{OR}_{52}$ wherein R_{52} is hydrogen or C_1 - C_2 alkyl or a phenyl group which is optionally mono- or disubstituted with substituents independently selected from C_1 - C_6 alkyl, halogen or trifluoromethyl, and m is 0, 1 or 2 as hereinbefore defined;

(6) $-\text{NR}_{54}-\text{COR}_{53}$ wherein R_{54} is hydrogen or C_1 - C_6 alkyl and R_{53} is hydrogen or C_1 - C_2 alkyl ;

(7) $=\text{O}$;

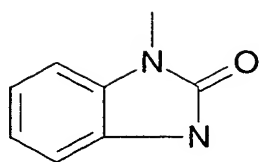
(8) $-\text{CN}$;

(9)

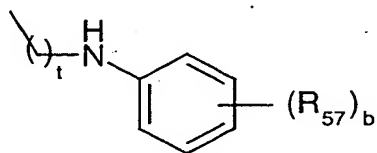


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(10)

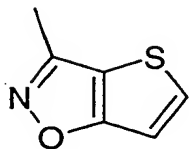


(11)



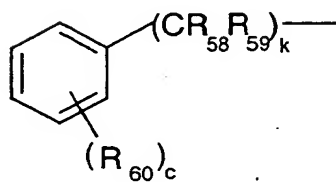
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(12)

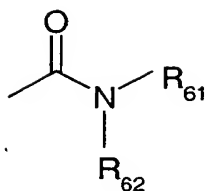


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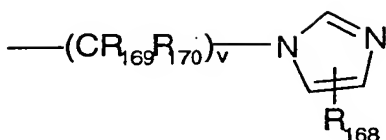
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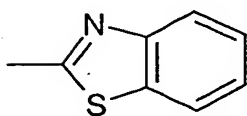
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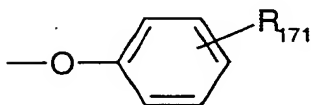
(15)



(16)



(17)



wherein

b is 0, 1 or 2 as hereinbefore defined;

w is 1, 2 or 3 as hereinbefore defined;

t is 0 or 1 as hereinbefore defined;

i is 0, 1 or 2;

v is 0, 1, 2, 3 or 4 as hereinbefore defined;

k is 0 or 1 as hereinbefore defined;

c are 0, 1 or 2;

R₁₆₇ is hydrogen or C₁-C₆alkyl;each R₅₅, R₅₆, R₅₈, R₅₉, R₁₆₉ and R₁₇₀ is independently hydrogen or C₁-C₆alkyl;each R₅₇ is independently hydrogen, halogen or C₁-C₆alkyl;

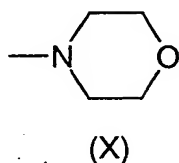
each R_{60} is independently hydrogen, halogen or C_1 - C_6 alkyl;

R_{61} and R_{62} are each independently hydrogen or C_1 - C_6 alkyl;

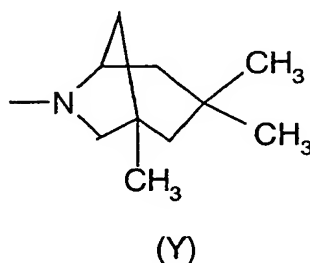
R_{168} is hydrogen, thienyl or furanyl;

R_{171} is hydrogen, C_1 - C_6 alkyl, halogen, trifluoromethyl or trifluoromethoxy;

or R_1 and R_2 are joined together to form a group of formula X;

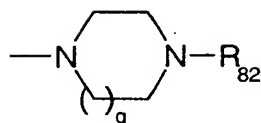


or R_1 and R_2 are joined together to form the group of formula (Y)

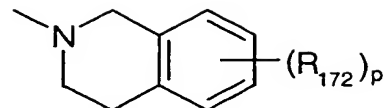


or R_1 and R_2 are joined together to form any of the following groups:

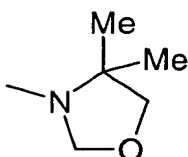
(a)



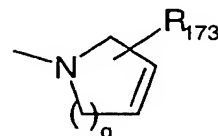
(b)



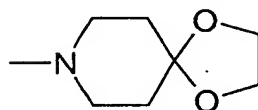
(c)



(d)



(e)



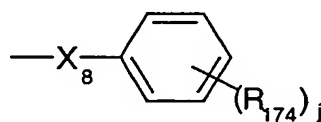
wherein

g is 1 or 2 as hereinbefore defined;

p is 0, 1 or 2 as hereinbefore defined;

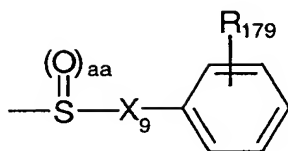
R₁₇₂ is hydrogen, C₁-C₆alkyl or C₁-C₆alkoxy;R₁₇₃ is hydrogen, C₁-C₆alkyl or phenyl optionally mono- or disubstituted with C₁-C₆alkyl or halogen; andR₈₂ is a substituent selected from the following groups:(a) C₁-C₆alkyl optionally substituted with hydroxy;(b) C₁-C₆alkenyl;(c) C₁-C₆alkoxy;(d) -(CH₂)OC₁-C₆alkyl;

(e)

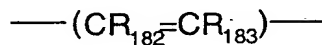
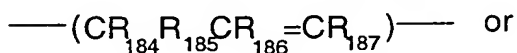
wherein X₈ is -(CR₁₇₅R₁₇₆)_n or -(CR₁₇₇=CR₁₈₈)_n

wherein each R_{174} is independently hydrogen, C_1 - C_6 alkyl, halogen, trifluoromethyl, C_1 - C_6 alkoxy or benzyloxy; h is 0, 1, 2 or 3 as hereinbefore defined; each R_{175} , R_{176} , R_{177} and R_{178} is independently hydrogen or C_1 - C_6 alkyl; and j is 0, 1, 2 or 3 as hereinbefore defined;

(f)



wherein X_9 is $-(CR_{180}R_{181})_j$ or



wherein

aa is 0 or 2 as hereinbefore defined;

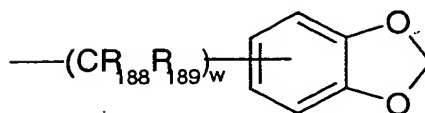
R_{179} is hydrogen, C_1 - C_6 alkyl, halogen, trifluoromethyl,

C_1 - C_6 alkoxy, benzyloxy or phenyl;

each R_{180} , R_{181} , R_{182} , R_{183} , R_{184} , R_{185} , R_{186} and R_{187} is independently hydrogen or C_1 - C_6 alkyl;

j is 0, 1, 2, or 3 as hereinbefore defined;

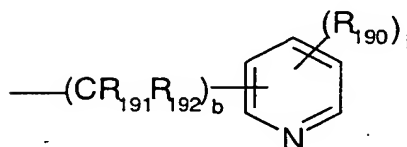
(g)



wherein w is 1, 2 or 3 as hereinbefore defined;

each R_{188} and R_{189} is independently hydrogen or C_1 - C_6 alkyl;

(h)



wherein

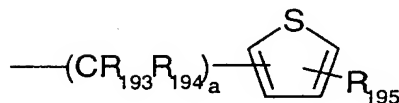
i is 0, 1 or 2 as hereinbefore defined;

each R_{190} is independently hydrogen, alkyl or halogen;

b is 0, 1, or 2 as hereinbefore defined;

each R_{191} and R_{192} is independently hydrogen or C_1 - C_6 alkyl;

(i)



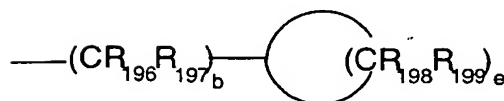
wherein

a is 1, 2 or 3 as hereinbefore defined;

each R_{193} and R_{194} is independently hydrogen or C_1 - C_6 alkyl;

R_{195} is hydrogen, halogen or C_1 - C_6 alkyl;

(j)



wherein

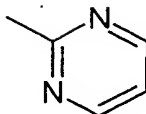
e is 2, 3, 4, 5 or 6 as hereinbefore defined;

b is 0, 1 or 2 as hereinbefore defined;

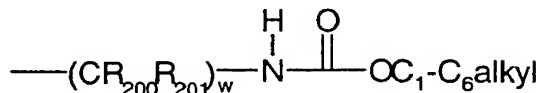
each R_{196} and R_{197} is independently hydrogen or C_1 - C_6 alkyl;

each R_{198} and R_{199} is independently hydrogen or C_1 - C_6 alkyl;

(k)



(l)

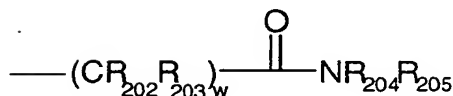


wherein

each R_{200} and R_{201} is independently hydrogen or C_1 - C_6 alkyl;

w is 1, 2 or 3 as hereinbefore defined;

(m)

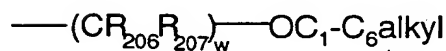


wherein

each R_{202} , R_{203} , R_{204} and R_{205} is independently hydrogen or C_1 - C_6 alkyl; and

w is 1, 2 or 3 as hereinbefore defined;

(n)



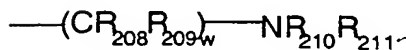
wherein

C_1 - C_6 alkyl is optionally substituted with hydroxy;

each R_{206} and R_{207} is independently hydrogen or C_1 - C_6 alkyl; and

w is 1, 2 or 3 as hereinbefore defined;

(o)

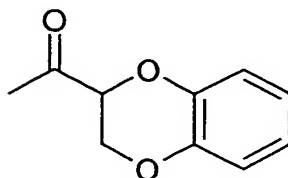


wherein

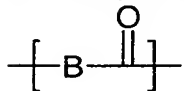
each R_{208} , R_{209} , R_{210} and R_{211} is independently hydrogen or C_1 - C_6 alkyl; w is 1, 2 or 3 as hereinbefore defined;

5

(p)



with the proviso that when n is 1; and y is 0; and R_3 is hydrogen or C_1 - C_6 alkyl; and



is group (a);

and R is group:

(a) wherein R_4 is hydrogen, halogen or C_1 - C_6 alkyl, and R_1 is hydrogen or unsubstituted C_1 - C_6 alkyl,

then R_2 cannot be a group of the following formula:

(a) wherein z is 0,

(b) wherein u is 0 and M is hydrogen, halogen, C_1 - C_6 alkyl, or trifluoromethyl,

(c) wherein o is 0,

(d) wherein l is 0,

(e) wherein j is 0,

(g) wherein v is 0, or

(i);

and also when R is the group of formula (a), R_1 and R_2 cannot be joined together to form the group of formula Y or a 5-, 6-, or 7-membered monocyclic ring wherein said ring is unsubstituted or mono- or di-substituted with C_1 - C_6 alkyl;

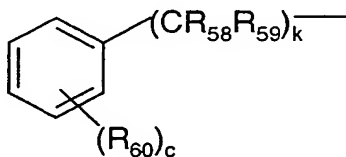
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(b) and R_1 is hydrogen or unsubstituted C_1 - C_6 alkyl, then R_2 cannot be a group of the following formula:

- (a),
- (b),
- (c) wherein o is 0,
- (d) wherein l is 0,
- (i),
- (k),
- (l), or
- (m) wherein Q is CH_2 ;

and also when R is the group of formula (b), R_1 and R_2 cannot be joined together to form a group of formula X or a group of formula Y or a 5-, 6-, or 7-membered monocyclic ring

wherein said ring is unsubstituted or mono- or di-substituted with C_1 - C_6 alkyl or



(c) and R_1 is hydrogen or unsubstituted C_1 - C_6 alkyl, then R_2 cannot be a group of the following formula:

- (c) wherein o is 0,
- (d) wherein l is 0, or
- (i);

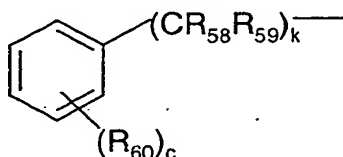
(d) and R_1 is hydrogen or unsubstituted C_1 - C_6 alkyl, then R_2 cannot be a group of the following formula:

- (a),
- (b) wherein u is 1,
- (c) wherein o is 0,

- (d),
 (i),
 (k),
 (l), or
 (m) wherein Q is CH₂;

and also when R is the group of formula (d), R₁ and R₂ cannot be joined together to form a group of formula X or a group of formula Y or a 5-, 6-, or 7-membered monocyclic ring

wherein said ring is unsubstituted or mono- or di-substituted with C₁-C₆alkyl or

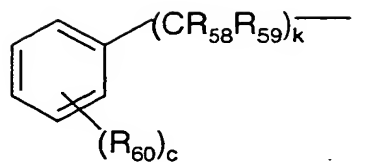


- (e) and R₁ is hydrogen or unsubstituted C₁-C₆alkyl, then R₂ cannot be a group of the following formula:

- (a),
 (b),
 (c) wherein o is 0,
 (d),
 (i),
 (k),
 (l), or
 (m) wherein Q is CH₂;

and also when R is the group of formula (e), R₁ and R₂ cannot be joined together to form a group of formula X or a group of formula Y or a 5-, 6-, or 7-membered monocyclic ring

wherein said ring is unsubstituted or mono- or di-substituted with C₁-C₆alkyl or

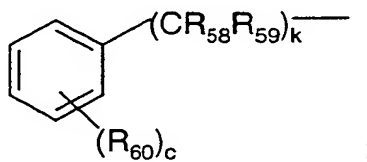


(f) and R_1 is hydrogen or unsubstituted C_1 - C_6 alkyl, then R_2 cannot be a group of the following formula:

- (a),
- (b),
- (c) wherein o is 0,
- (d),
- (i),
- (k),
- (l), or
- (m) wherein Q is CH_2 ;

and also when R is the group of formula (f), R_1 and R_2 cannot be joined together to form a group of formula X or a group of formula Y or a 5-, 6-, or 7-membered monocyclic ring

wherein said ring is unsubstituted or mono- or di-substituted with C_1 - C_6 alkyl or



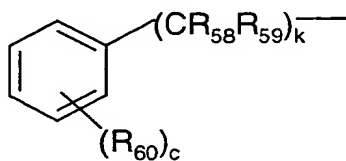
(g) and R_1 is hydrogen or unsubstituted C_1 - C_6 alkyl, then R_2 cannot be a group of the following formula:

- (a),
- (b) wherein u is 1,
- (c) wherein o is 0,
- (d),
- (i),

- (k),
 (l), or
 (m) wherein Q is CH₂;

and also when R is the group of formula (g), R₁ and R₂ cannot be joined together to form a group of formula X or a group of formula Y or a 5-, 6-, or 7-membered monocyclic ring

wherein said ring is unsubstituted or mono- or di-substituted with C₁-C₆alkyl or

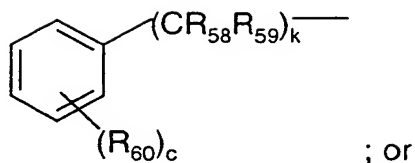


(h) and R₁ is hydrogen or unsubstituted C₁-C₆alkyl, then R₂ cannot be a group of the following formula:

- (a),
 (b),
 (c) wherein o is 0,
 (d),
 (i),
 (k),
 (l), or
 (m) wherein Q is CH₂;

and also when R is the group of formula (h), R₁ and R₂ cannot be joined together to form a group of formula X or a group of formula Y or a 5-, 6-, or 7-membered monocyclic ring

wherein said ring is unsubstituted or mono- or di-substituted with C₁-C₆alkyl or



(j), then R_1 and R_2 cannot be joined together to form a group of formula Y or a 5-, 6-, or 7-membered monocyclic ring wherein said ring is unsubstituted or mono- or di-substituted with C_1 - C_6 alkyl.

2. The compound of claim 1 wherein R is group (a).
3. The compound of claim 2 wherein R_4 is halogen or CF_3 .
4. The compound of claim 3 wherein R_2 is group (a).
5. The compound of claim 4 wherein z is 0 or 1;
e is 5 and each R_{27} and R_{28} is independently selected from hydrogen or C_1 - C_6 alkyl.
6. The compound of claim 3 wherein R_2 is group (b).
7. The compound of claim 6 wherein M is hydrogen, C_1 - C_6 alkoxy or C_1 - C_6 alkyl and u is 0 or 1.
8. The compound of claim 3 wherein R_2 is group (n).
9. The compound of claim 8 wherein R_{70} is hydrogen and f is 3.
10. The compound of claim 1 wherein R is group (k).
11. The compound of claim 10 wherein R_{12} is hydrogen, C_1 - C_6 alkyl, or $-CH_2OC_1$ - C_6 alkyl.
12. The compound of claim 11 wherein R_2 is group (a).
13. The compound of claim 12 wherein z is 0 or 1;
e is 5 and each R_{27} and R_{28} is independently selected from hydrogen or C_1 - C_6 alkyl.
14. The compound of claim 11 wherein R_2 is group (b).
15. The compound of claim 14 wherein M is hydrogen, C_1 - C_6 alkoxy or C_1 - C_6 alkyl and u is 0 or 1.
16. The compound of claim 11 wherein R_2 is group (n).
17. The compound of claim 17 wherein R_{70} is hydrogen and f is 3.
18. The compound of claim 1 which is 2-[4-(6-trifluoromethyl-benzo[b]thiophen-3-yl)-piperazin-1-ylmethyl]-*trans*-cyclopropanecarboxylic acid (*trans*-4-ethyl-cyclohexyl)-amide.

19 The compound of claim 1 which is 2-[4-(2,4-dimethyl-phenyl)-piperazin-1-ylmethyl]-(2R, 3R)-cyclopropanecarboxylic acid (*trans*-4-ethyl-cyclohexyl)-amide.

20. The compound of claim 1 which is 2-[4-(chloro-trifluoromethyl-pyridin-2-yl)-piperazin-1-ylmethyl]-(2R, 3R)-cyclopropanecarboxylic acid (*trans*-4-ethyl-cyclohexyl)-amide.

21. The compound of claim 1 which is 2-[4-(2,5-dimethyl-phenyl)-piperazin-1-ylmethyl]-(2R, 3R)-cyclopropanecarboxylic acid (*trans*-4-ethyl-cyclohexyl)-amide.

22. The compound of claim 1 which is 2-[4-(6-trifluoromethyl-benzo[b]thiophen-3-yl)-piperazin-1-ylmethyl]-(2R, 3R)-cyclopropanecarboxylic acid (*trans*-4-methyl-cyclohexyl)-amide.

23. The compound of claim 1 which is 2-(4-thieno[2,3-*d*]isoxazol-3-yl-piperidin-1-ylmethyl)-(2R, 3R)-cyclopropanecarboxylic acid (*trans*-4-methyl-cyclohexyl)-amide.

24. The compound of claim 1 which is 2-[4-*o*-tolyl-piperazin-1-ylmethyl]-(2R, 3R)-cyclopropanecarboxylic acid (*trans*-4-ethyl-cyclohexyl)-amide.

25. The compound of claim 1 which is 4-[4-(6-fluoro-benzo[b]thiophen-3-yl)-piperazin-1-yl]-*N*-(*trans*-4-methyl-cyclohexyl)-butyramide.

26. The compound of claim 1 which is 2-(4-thieno[2,3-*d*]isoxazol-3-yl-piperidin-1-ylmethyl)-(2R, 3R)-cyclopropanecarboxylic acid (3-imidazol-1-yl-propyl)-amide.

27. The compound of claim 1 which is 2-(4-thieno[2,3-*d*]isoxazol-3-yl-piperazin-1-ylmethyl)-(2R, 3R)-cyclopropanecarboxylic acid (*trans*-4-methyl-cyclohexyl)-amide.

28. The compound of claim 1 which is 2R-[4-(1-Methyl-1H-thieno[3,2-*c*]pyrazol-3-yl)-piperazin-1-ylmethyl]-cyclopropane-1R-carboxylic acid *trans*-(4-methyl-cyclohexyl)-amide.

29. The compound of claim 1 which is 2R-[4-(5-Trifluoromethyl-benzo[d]isoxazol-3-yl)-piperidin-1-ylmethyl]-cyclopropane-1R-carboxylic acid *trans*-(4-methyl-cyclohexyl)-amide.

30. The compound of claim 1 which is (3S-Imidazol-1-ylmethyl-piperidin-1-yl)-{2R-[4-(7-methoxy-benzo[d]isoxazol-3-yl)-piperidin-1-ylmethyl]-1R-cyclopropyl}-methanone.

5

31. The compound of claim 1 which is 2R-[4-(1-Methyl-7-trifluoromethyl-1H-indazol-3-yl)-piperidin-1-ylmethyl]-1R-cyclopropanecarboxylic acid (3-imidazol-1-yl-propyl)-amide.

10

32. The compound of claim 1 which is (3S-Imidazol-1-ylmethyl-piperidin-1-yl)-{2R-[4-(7-trifluoromethyl-benzo[d]isoxazol-3-yl)-piperidin-1-ylmethyl]-1R-cyclopropyl}-methanone.

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33. The compound of claim 1 which is 2R-[4-(7-Trifluoromethyl-benzo[b]thiophen-3-yl)-piperidin-1-ylmethyl]-1R-cyclopropanecarboxylic acid (trans-4-methyl-cyclohexyl)-amide.

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34. The compound of claim 1 which is (3S-Imidazol-1-ylmethyl-piperidin-1-yl)-{2R-[4-(1-methyl-6-trifluoromethyl-1H-indazol-3-yl)-piperidin-1-ylmethyl]-1R-cyclopropyl}-methanone.

25

35. The compound of claim 1 which is 2R-[4-(6-Trifluoromethyl-benzo[d]isoxazol-3-yl)-piperidin-1-ylmethyl]-1R-cyclopropanecarboxylic acid (3-imidazol-1-yl-propyl)-amide.

36. The compound of claim 1 which is (3S-Imidazol-1-ylmethyl-piperidin-1-yl)-{2R-[4-(6-trifluoromethyl-benzo[b]thiophen-3-yl)-piperidin-1-ylmethyl]-1R-cyclopropyl}-methanone.

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37. The compound of claim 1 which is 2R-[4-(6-Fluoro-7-methoxy-benzo[d]isoxazol-3-yl)-piperidin-1-ylmethyl]-1R-cyclopropanecarboxylic acid (trans-4-methyl-cyclohexyl)-amide.

38. The compound of claim 1 which is 2R-[4-(1-Methyl-1H-thieno[3,2-c]pyrazol-3-yl)-piperidin-1-ylmethyl]-1R-cyclopropanecarboxylic acid (3-imidazol-1-yl-propyl)-amide.

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39. The compound of claim 1 which is 2R-{4-[1-(2,2,2-Trifluoro-ethyl)-1H-thieno[3,2-c]pyrazol-3-yl]-piperidin-1-ylmethyl}-1R-cyclopropanecarboxylic acid (3-imidazol-1-yl-propyl)-amide.

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40. The compound of claim 1 which is 2R-(4-Thieno[2,3-d]isoxazol-3-yl-piperazin-1-ylmethyl)-1R-cyclopropanecarboxylic acid (3-imidazol-1-yl-propyl)-amide

41. The compound of claim 1 which is 2R-(4-Benzo[b]thiophen-2-yl-piperidin-1-ylmethyl)-1R-cyclopropanecarboxylic acid (trans-4-methyl-cyclohexyl)-amide.

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42. The compound of claim 1 which is 2R-[4-(5,6-Dihydro-4H-imidazo[4,5,1-ij]quinolin-2-yl)-piperazin-1-ylmethyl]-1R-cyclopropanecarboxylic acid (trans-4-methyl-cyclohexyl)-amide.

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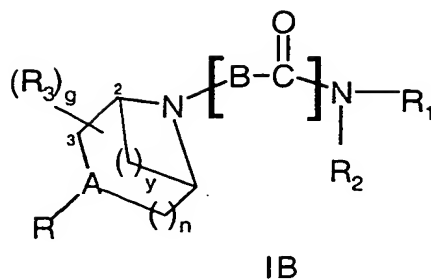
43. The compound of claim 1 which is 2R-(4-Thieno[2,3-b]pyridin-3-yl-piperazin-1-ylmethyl)-1R-cyclopropanecarboxylic acid (3-imidazol-1-yl-propyl)-amide

44. The compound of claim 1 which is 1-{2-[4-(6-Trifluoromethyl-benzo[b]thiophen-3-yl)-piperazin-1-yl]-ethyl}-cyclopropanecarboxylic acid (3-imidazol-1-yl-propyl)-amide.

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45. A method of modulating the activity of dopamine D₃ receptors, said method comprising: contacting cell-associated dopamine D₃ receptors with a concentration of a compound of formula IB, or a physiologically acceptable salt thereof, sufficient to modulate the activity of said dopamine D₃ receptor wherein said compound of formula IB has the structure:

30



wherein

A is CH or N;

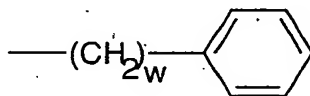
n is 1 or 2;

when n is 1, y is 0 or 2;

when n is 2, y is 0;

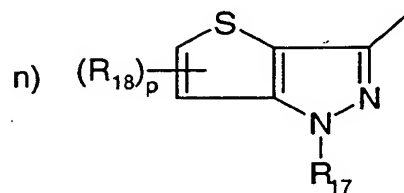
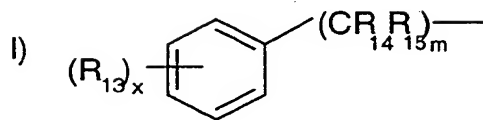
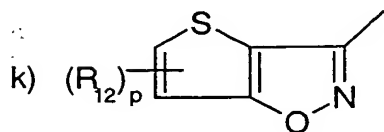
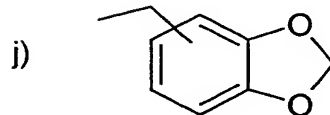
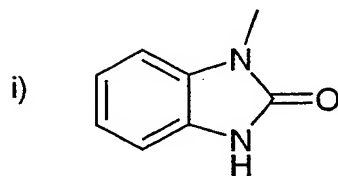
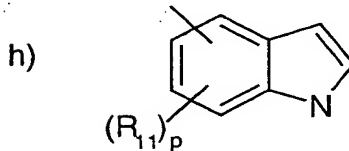
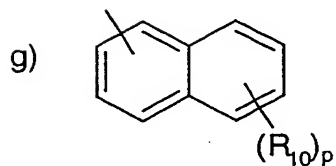
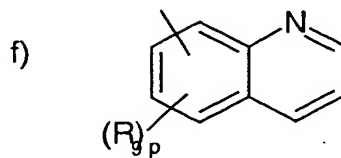
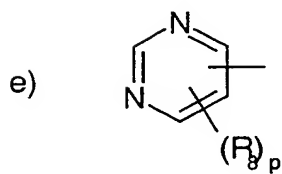
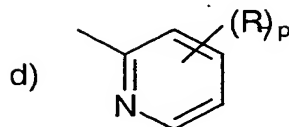
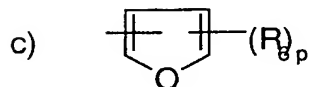
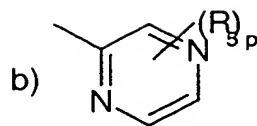
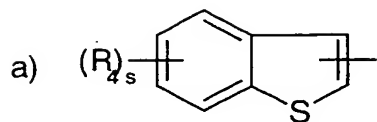
g is 1 or 2;

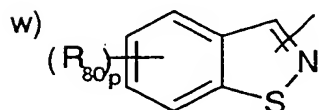
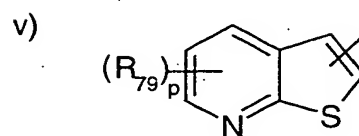
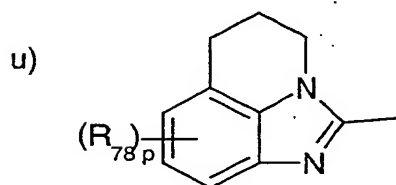
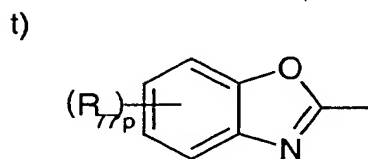
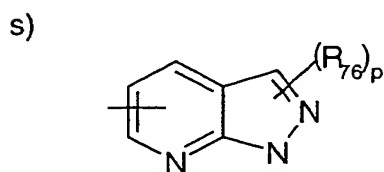
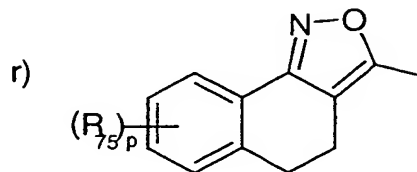
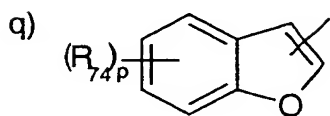
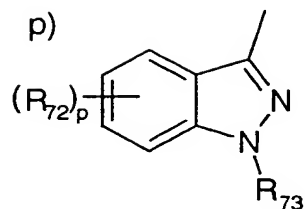
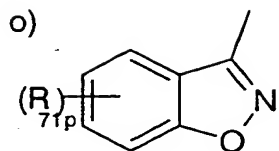
each R₃ is independently hydrogen, C₁-C₆alkyl, or



wherein w is 1, 2, or 3;

R is selected from the group consisting of (a) – (w):





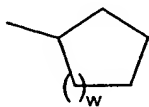
wherein

each R_4 , R_5 , R_6 , R_7 , R_8 , R_9 , R_{10} , R_{11} , R_{12} and R_{18} is independently hydrogen, C_1 - C_6 alkyl, halogen, trifluoromethyl, $-CO_2C_1$ - C_6 alkyl or $-CH_2OC_1$ - C_6 alkyl;

each R_{71} , R_{72} , R_{74} and R_{80} is independently hydrogen, C_1 - C_6 alkyl, C_1 - C_6 alkoxy, halogen, trifluoromethyl, $-CO_2C_1$ - C_6 alkyl or $-CH_2OC_1$ - C_6 alkyl;

R_{73} is hydrogen, alkyl, pyridyl, benzyl, $-\text{CH}_2\text{CF}_3$, $-\text{CO}_2\text{C}_1\text{-C}_6\text{alkyl}$, phenyl optionally substituted with halogen, trifluoromethyl, trifluoromethoxy or

R_{73} is



wherein w is 1, 2 or 3 as hereinbefore defined;

each R_{75} is hydrogen, halogen, $\text{C}_1\text{-C}_6\text{alkyl}$ or $\text{C}_1\text{-C}_6\text{alkoxy}$;

each R_{76} is hydrogen, halogen, $-\text{CN}$ or $\text{C}_1\text{-C}_6\text{alkyl}$;

each R_{77} is hydrogen, halogen, $\text{C}_1\text{-C}_6\text{alkyl}$ or $\text{C}_1\text{-C}_6\text{alkoxy}$;

each R_{78} hydrogen, halogen, $\text{C}_1\text{-C}_6\text{alkyl}$ or $\text{C}_1\text{-C}_6\text{alkoxy}$;

each R_{79} hydrogen, halogen, $\text{C}_1\text{-C}_6\text{alkyl}$ or $\text{C}_1\text{-C}_6\text{alkoxy}$;

p , s and x are 0, 1, or 2;

each R_{13} is independently hydrogen, $\text{C}_1\text{-C}_6\text{alkyl}$, halogen, benzyl, trifluoromethyl, $\text{C}_1\text{-C}_6\text{alkoxy}$, nitro, $-\text{CN}$, or $-\text{COC}_1\text{-C}_6\text{alkyl}$;

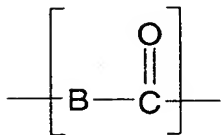
R_{16} is $\text{C}_1\text{-C}_6\text{alkyl}$;

each R_{14} and R_{15} is independently hydrogen or $\text{C}_1\text{-C}_6\text{alkyl}$;

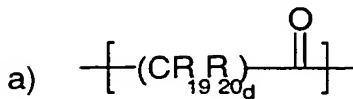
R_{17} is hydrogen, $\text{C}_1\text{-C}_6\text{alkyl}$, Ar, $-\text{COAr}$, $-\text{CONHAr}$ or $-\text{SO}_2\text{-Ar}$ wherein

Ar is a phenyl group which is optionally mono- or di-substituted with substituents independently selected from $\text{C}_1\text{-C}_6\text{alkyl}$, halogen, trifluoromethyl, $\text{C}_1\text{-C}_6\text{alkoxy}$, nitro, CN and $\text{COC}_1\text{-C}_6\text{alkyl}$; and

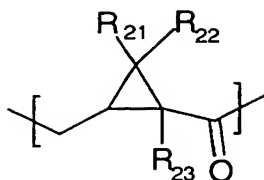
m is 0, 1, or 2;



represents a group selected from (a) - (f):

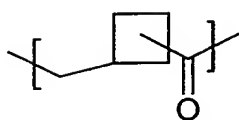


b)



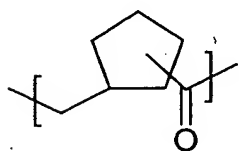
5

(c)

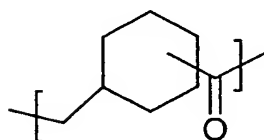


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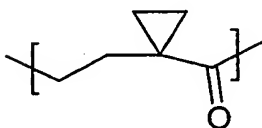
(d)



(e)



(f)



15

wherein

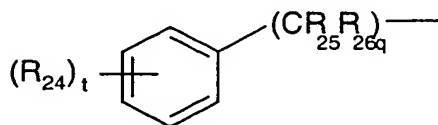
each R_{19} and R_{20} is independently hydrogen, hydroxy or C_1 - C_6 alkyl;

R_{21} , R_{22} , and R_{23} are each independently hydrogen or C_1 - C_3 linear alkyl; and

d is 3 or 4;

20

- R_1 is a) hydrogen;
 b) C_1 - C_6 alkyl optionally mono- or di-substituted with hydroxy; or
 c)

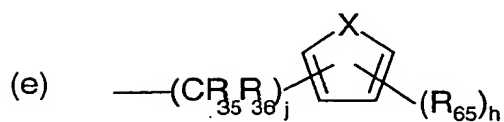
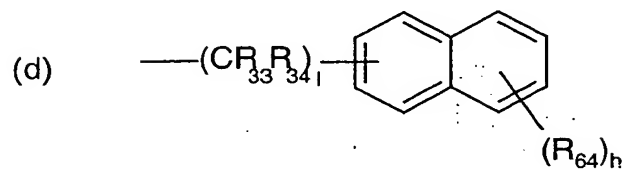
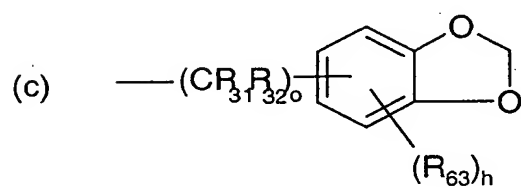
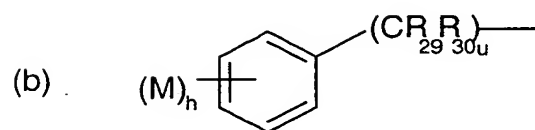
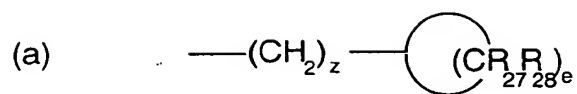


wherein

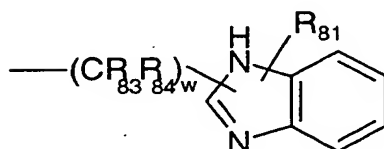
- each R_{24} is independently hydrogen or C_1 - C_6 alkyl;
 each R_{25} , and R_{26} is independently hydrogen or
 C_1 - C_6 alkyl;
 t is 0 or 1; and
 q is 0 or 1;

R_2 is a group selected from (a) – (jj):

10



(f)

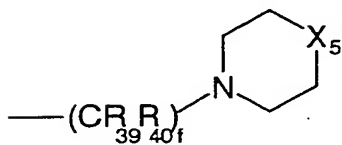


(g)



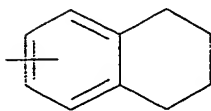
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(h)



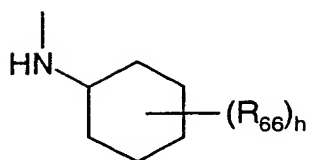
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(i)



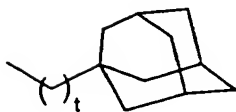
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(j)



20

(k)



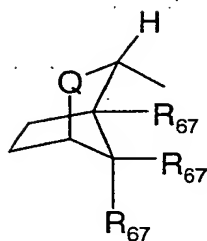
5

(l)



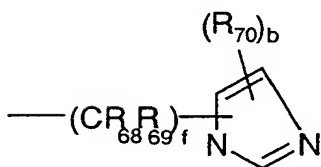
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(m)

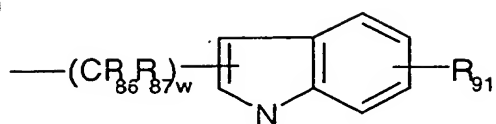


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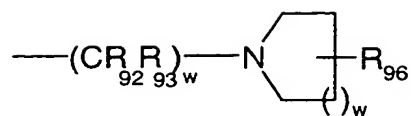
(n)



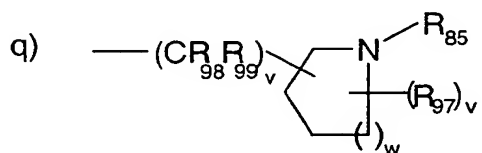
o)



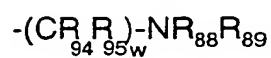
p)



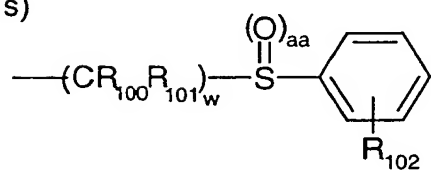
q)



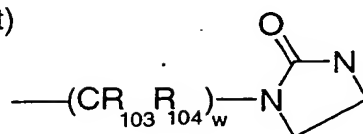
r)



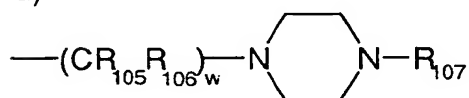
s)



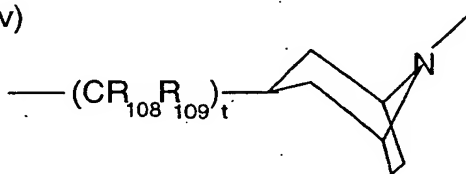
t)



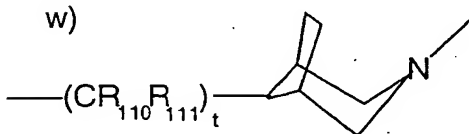
u)



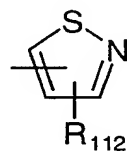
v)



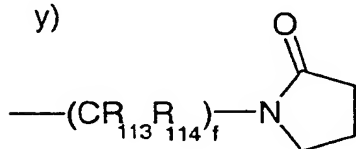
w)



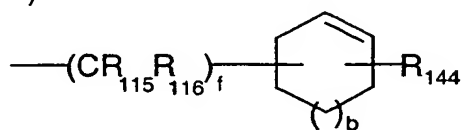
x)



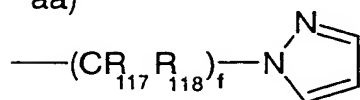
y)



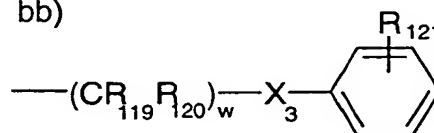
z)



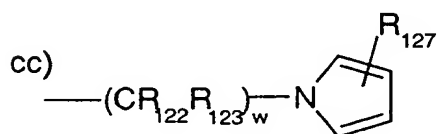
aa)



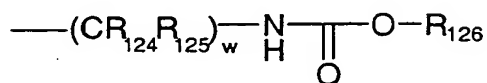
bb)



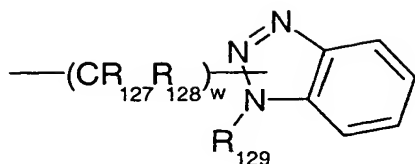
cc)



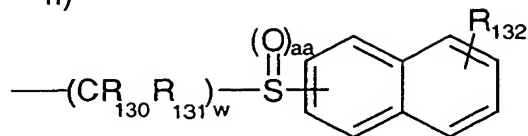
dd)



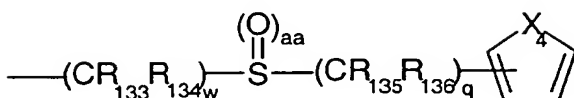
ee)



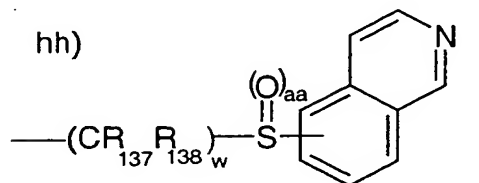
ff)



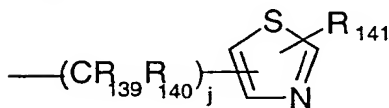
gg)



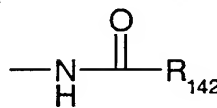
hh)



ii)



jj)



wherein

each R₂₇ and R₂₈ is independently selected from:

- (8) hydrogen;
- (9) C₁-C₆alkyl;
- (10) C₁-C₆alkoxy;
- (11) -CO₂-R₄₃ wherein R₄₃ is hydrogen or C₁-C₆alkyl;
- (12) hydroxy;
- (13) -(CH₂)_a-OR₄₄ wherein a is 1, 2 or 3 and R₄₄ is hydrogen or C₁-C₆alkyl;
- (14) -(CO)-NR₄₅R₄₆

wherein R₄₅ and R₄₆ are each independently hydrogen, C₁-C₂alkyl, or R₄₅ and R₄₆ taken together form a 5-membered monocyclic ring;

z is 0 or 1;

e is 2, 3, 4, 5, 6 or 7;

h is 0, 1, 2 or 3;

u is 0, 1, 2, 3 or 4;

o is 0 or 1;

l is 0 or 1;

j is 0, 1, 2 or 3;

v is 0, 1, 2, 3 or 4;

w is 1, 2 or 3 as hereinbefore defined;

f is 1, 2, 3 or 4;

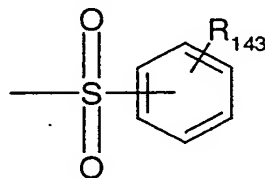
t is 0 or 1 as hereinbefore defined;

b is 0, 1 or 2;

q is 0 or 1 as hereinbefore defined;

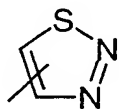
aa is 0 or 2;

X is O, S or NR_{90} wherein R_{90} is hydrogen, $\text{C}_1\text{-C}_6$ alkyl, or



wherein R_{143} is hydrogen or alkyl;

each M and V is a group independently selected from hydrogen, halogen, $\text{C}_1\text{-C}_6$ alkyl, $\text{C}_1\text{-C}_6$ alkoxy, trifluoromethyl, hydroxy, phenyl, phenoxy, $-\text{SO}_2\text{NH}_2$ or



$-\text{NR}_{48}\text{R}_{49}$ wherein R_{48} and R_{49} are each independently hydrogen or $\text{C}_1\text{-C}_2$ alkyl;

each R_{31} , R_{32} , R_{33} , R_{34} , R_{35} , R_{36} , R_{37} , R_{38} , R_{39} , R_{40} , R_{68} , and R_{69} is independently hydrogen or $\text{C}_1\text{-C}_6$ alkyl;

each R_{29} , R_{30} is independently hydrogen, phenyl or $\text{C}_1\text{-C}_6$ alkyl;

each R_{83} , R_{84} , R_{86} , R_{87} , R_{88} , R_{89} , R_{92} , R_{93} , R_{98} , R_{99} , R_{94} , R_{95} , R_{100} , R_{101} , R_{103} , R_{104} , R_{105} , R_{106} , R_{108} , R_{109} , R_{110} , R_{111} ,

R_{113} , R_{114} , R_{115} , R_{116} , R_{117} , R_{118} , R_{119} , R_{120} , R_{122} , R_{123} ,
 R_{124} , R_{125} , R_{127} , R_{128} , R_{130} , R_{131} , R_{133} , R_{134} , R_{135} , R_{136} ,
 R_{137} , R_{138} , R_{139} and R_{140} is independently hydrogen or C_1 -
 C_6 alkyl;

each R_{63} , R_{64} and R_{65} is independently hydrogen, halogen,
 C_1 - C_6 alkyl or C_1 - C_6 alkoxy;

each R_{66} is independently hydrogen, hydroxy,
 C_1 - C_6 alkyl or C_1 - C_6 alkoxy;

Q is CH_2 , $CHOH$ or $C=O$;

X_5 is O or S;

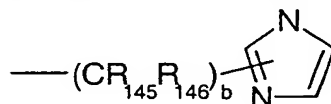
each R_{67} is independently hydrogen or C_1 - C_6 alkyl;

R_{70} is hydrogen, C_1 - C_6 alkyl, halogen, nitro or a phenyl
 group optionally mono-substituted with C_1 - C_6 alkyl,
 halogen or trifluoromethyl;

R_{81} is hydrogen, C_1 - C_6 alkyl, or $-CO_2C_1$ - C_6 alkyl;

R_{91} is hydrogen, halogen, C_1 - C_6 alkyl or C_1 - C_6 alkoxy;

R_{96} is hydrogen, C_1 - C_6 alkyl or



wherein R_{145} and R_{146} are each
 independently hydrogen or
 C_1 - C_6 alkyl and b is 0, 1 or 2
 as hereinbefore defined;

R_{97} is hydrogen or C_1 - C_6 alkyl;

each R_{102} is independently hydrogen, halogen,
 C_1 - C_6 alkyl or C_1 - C_6 alkoxy;

R_{107} is hydrogen or C_1 - C_6 alkyl;

each R_{121} is independently hydrogen, halogen,
 C_1 - C_6 alkyl or C_1 - C_6 alkoxy;

R_{127} is hydrogen or C_1 - C_6 alkyl;

R_{126} is C_1 - C_6 alkyl or benzyl;

R_{129} is hydrogen or C_1 - C_6 alkyl;

R_{132} is hydrogen, C_1 - C_6 alkyl, halogen or C_1 - C_6 alkoxy;

X_3 is O or $-NR_{127}$ wherein R_{127} is hydrogen or

C_1 - C_6 alkyl;

X_4 is O, S or $-NR_{143}$ wherein R_{143} is hydrogen or

C_1 - C_6 alkyl;

R_{141} is hydrogen, C_1 - C_6 alkyl or amino;

R_{142} is benzyl or phenyl each of which may be optionally substituted with C_1 - C_6 alkyl, halogen or C_1 - C_6 alkoxy;

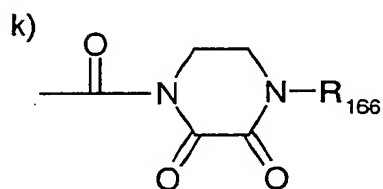
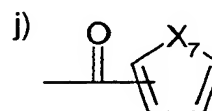
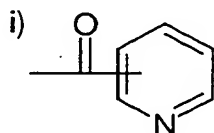
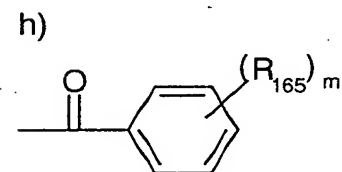
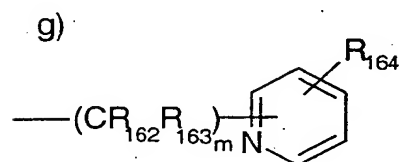
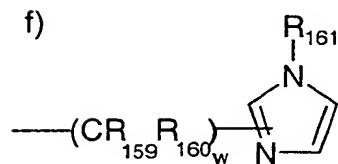
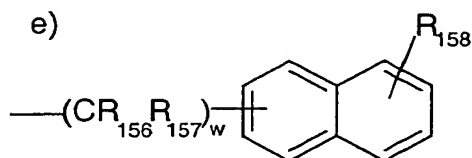
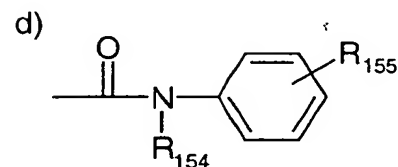
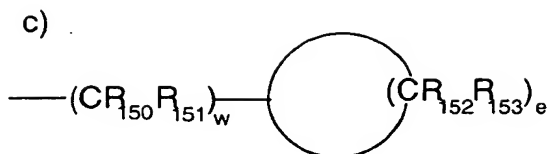
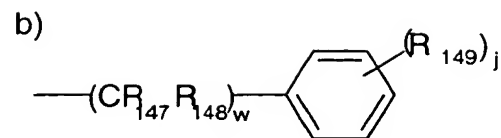
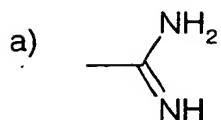
R_{144} is hydrogen or C_1 - C_6 alkyl;

R_{85} is hydrogen, C_1 - C_6 alkoxy, C_1 - C_6 alkyl, $-\text{CO}_2C_1$ - C_6 alkyl,

$\text{C}(\text{O})C_1$ - C_6 alkyl or a group selected from the following:

5

10



wherein

j is 0, 1, 2 or 3 as hereinbefore defined;

w is 1, 2 or 3 as hereinbefore defined;

m is 0, 1 or 2 as hereinbefore defined;

e is 2, 3, 4, 5, 6 or 7 as hereinbefore defined;

each R_{147} , R_{148} , R_{150} , R_{151} , R_{152} , R_{153} , R_{156} , R_{157} , R_{159} , R_{160} , R_{162} and R_{163} is independently hydrogen or C_1 - C_6 alkyl;

R_{149} is hydrogen, halogen, C_1 - C_6 alkyl, phenoxy, trifluoromethyl or trifluoromethoxy;

R_{155} is hydrogen, halogen or C_1 - C_6 alkyl;

R_{158} is hydrogen or C_1 - C_6 alkyl;

R_{161} is hydrogen or C_1 - C_6 alkyl;

R_{164} is hydrogen, halogen, C_1 - C_6 alkyl or trifluoromethyl;

R_{165} is hydrogen, C_1 - C_6 alkyl or halogen;

X_7 is O or S or $-NR_{167}$ wherein R_{167} is hydrogen or C_1 - C_6 alkyl;

R_{166} is hydrogen or C_1 - C_6 alkyl;

or R_1 and R_2 are joined together to form a 5-, 6-, or 7-membered monocyclic saturated ring, and in which the ring is optionally mono- or di-substituted, the substituents independently selected from:

(1) C_1 - C_6 alkyl;

(9) $-\text{CO}_2-(C_1\text{-}C_6\text{alkyl})$;

(10) $-\text{NR}_{50}\text{R}_{51}$ wherein R_{50} and R_{51} are each independently hydrogen, C_1 - C_6 alkyl, or a phenyl group which is optionally mono- or disubstituted with substituents independently selected from C_1 - C_6 alkyl, halogen or trifluoromethyl;

(11) $-\text{C}(\text{O})\text{phenyl}$ wherein the phenyl group is optionally mono- or disubstituted with substituents independently selected from C_1 - C_6 alkyl, halogen or trifluoromethyl;

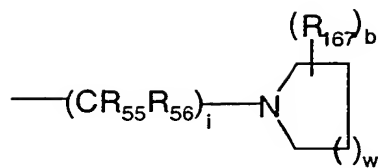
(12) $-(\text{CH}_2)_m\text{OR}_{52}$ wherein R_{52} is hydrogen or C_1 - C_2 alkyl or a phenyl group which is optionally mono- or disubstituted with substituents independently selected from C_1 - C_6 alkyl, halogen or trifluoromethyl, and m is 0, 1 or 2 as hereinbefore defined;

(13) $-\text{NR}_{54}\text{-COR}_{53}$ wherein R_{54} is hydrogen or C_1 - C_6 alkyl and R_{53} is hydrogen or C_1 - C_2 alkyl ;

(14) $=\text{O}$;

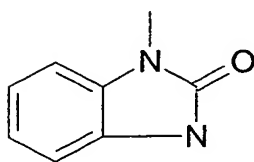
(15) $-\text{CN}$;

(9)

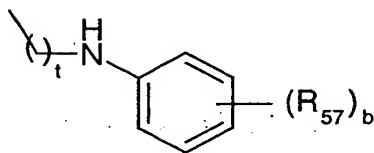


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(10)

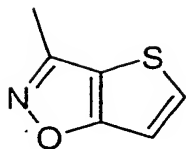


(11)



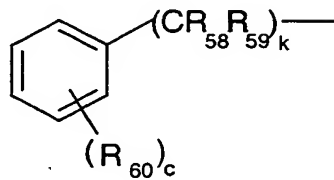
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(12)

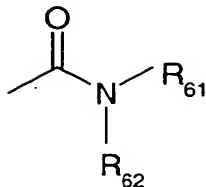


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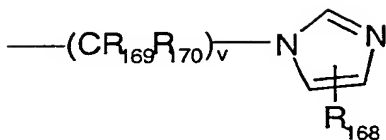
(13)



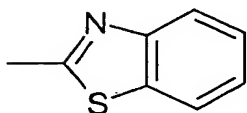
(14)



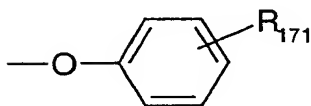
(15)



(16)



(17)



wherein

b is 0, 1 or 2 as hereinbefore defined;

w is 1, 2 or 3 as hereinbefore defined;

t is 0 or 1 as hereinbefore defined;

i is 0, 1 or 2;

v is 0, 1, 2, 3 or 4 as hereinbefore defined;

k is 0 or 1 as hereinbefore defined;

c are 0, 1 or 2;

R₁₆₇ is hydrogen or C₁-C₆alkyl;each R₅₅, R₅₆, R₅₈, R₅₉, R₁₆₉ and R₁₇₀ is independently hydrogen or C₁-C₆alkyl;each R₅₇ is independently hydrogen, halogen or C₁-C₆alkyl;each R₆₀ is independently hydrogen, halogen or

C₁-C₆alkyl;

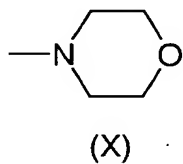
R₆₁ and R₆₂ are each independently hydrogen or

C₁-C₆alkyl;

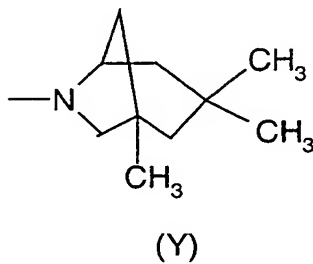
R₁₆₈ is hydrogen, thienyl or furanyl;

R₁₇₁ is hydrogen, C₁-C₆alkyl, halogen, trifluoromethyl or trifluoromethoxy;

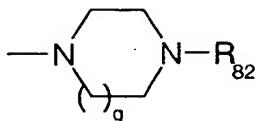
or R₁ and R₂ are joined together to form a group of formula X;



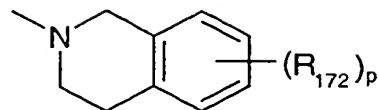
or R₁ and R₂ are joined together to form the group of formula (Y)



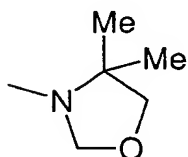
(a)



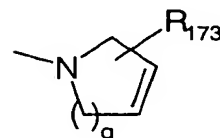
(b)



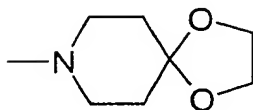
(c)



(d)



(e)



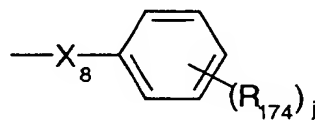
wherein

g is 1 or 2 as hereinbefore defined;

p is 0, 1 or 2 as hereinbefore defined;

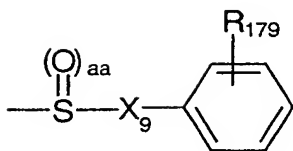
R₁₇₂ is hydrogen, C₁-C₆alkyl or C₁-C₆alkoxy;R₁₇₃ is hydrogen, C₁-C₆alkyl or phenyl optionally mono- or disubstituted with C₁-C₆alkyl or halogen; andR₈₂ is a substituent selected from the following groups:(a) C₁-C₆alkyl optionally substituted with hydroxy;(b) C₁-C₆alkenyl;(c) C₁-C₆alkoxy;(d) -(CH₂)OC₁-C₆alkyl;

(e)

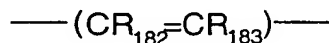
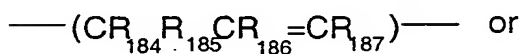
wherein X is $-(\text{CR}_{175}\text{R}_{176})-$ or $-(\text{CR}_{177}=\text{CR}_{188})-$

wherein each R_{174} is independently hydrogen, C_1 - C_6 alkyl, halogen, trifluoromethyl, C_1 - C_6 alkoxy or benzyloxy; h is 0, 1, 2 or 3 as hereinbefore defined; each R_{175} , R_{176} , R_{177} and R_{178} is independently hydrogen or C_1 - C_6 alkyl; and j is 0, 1, 2 or 3 as hereinbefore defined;

(f)



wherein X_9 is $-(CR_{180}R_{181})_j-$ or



wherein

aa is 0 or 2 as hereinbefore defined;

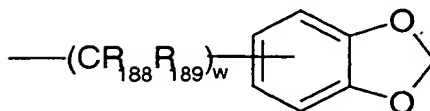
R_{179} is hydrogen, C_1 - C_6 alkyl, halogen, trifluoromethyl,

C_1 - C_6 alkoxy, benzyloxy or phenyl;

each R_{180} , R_{181} , R_{182} , R_{183} , R_{184} , R_{185} , R_{186} and R_{187} is independently hydrogen or C_1 - C_6 alkyl;

j is 0, 1, 2, or 3 as hereinbefore defined;

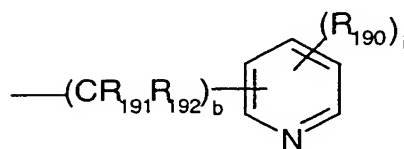
(g)



wherein w is 1, 2 or 3 as hereinbefore defined;

each R_{188} and R_{189} is independently hydrogen or C_1 - C_6 alkyl;

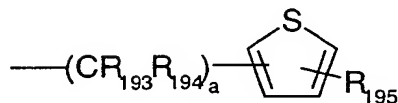
(h)



wherein

i is 0, 1 or 2 as hereinbefore defined;
 each R_{190} is independently hydrogen, alkyl or halogen;
 b is 0, 1, or 2 as hereinbefore defined;
 each R_{191} and R_{192} is independently hydrogen or C_1 - C_6 alkyl;

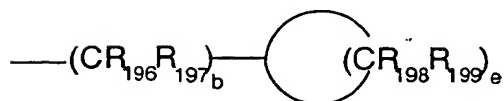
(i)



wherein

a is 1, 2 or 3 as hereinbefore defined;
 each R_{193} and R_{194} is independently hydrogen or C_1 - C_6 alkyl;
 R_{195} is hydrogen, halogen or C_1 - C_6 alkyl;

(j)



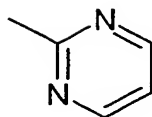
wherein

e is 2, 3, 4, 5 or 6 as hereinbefore defined;
 b is 0, 1 or 2 as hereinbefore defined;

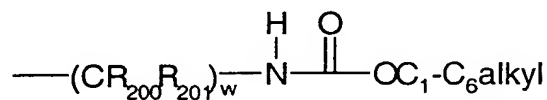
each R_{196} and R_{197} is independently hydrogen or C_1 - C_6 alkyl;

each R_{198} and R_{199} is independently hydrogen or C_1 - C_6 alkyl;

(k)



(l)

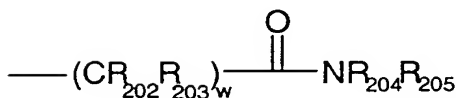


wherein

each R_{200} and R_{201} is independently hydrogen or C_1 - C_6 alkyl;

w is 1, 2 or 3 as hereinbefore defined;

(m)



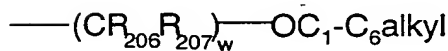
wherein

each R_{202} , R_{203} , R_{204} and R_{205} is

independently hydrogen or C_1 - C_6 alkyl; and

w is 1, 2 or 3 as hereinbefore defined;

(n)



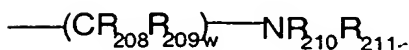
wherein

C_1 - C_6 alkyl is optionally substituted with hydroxy;

each R_{206} and R_{207} is independently hydrogen or C_1 - C_6 alkyl; and

w is 1, 2 or 3 as hereinbefore defined;

(o)

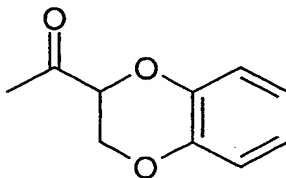


wherein

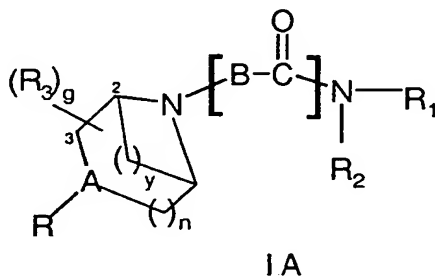
each R_{208} , R_{209} , R_{210} and R_{211} is
independently hydrogen or C_1 - C_6 alkyl;
 w is 1, 2 or 3 as hereinbefore defined;

5

(p)



46. A method of treating conditions or disorders of the central nervous system comprising administering to a patient in need thereof a therapeutically effective amount of a compound of formula IA, or a pharmaceutically acceptable salt thereof wherein said compound of formula IA has the structure:



wherein

A is CH or N;

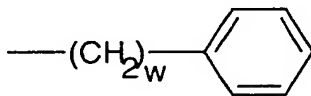
n is 1 or 2;

when n is 1, y is 0 or 2;

when n is 2, y is 0;

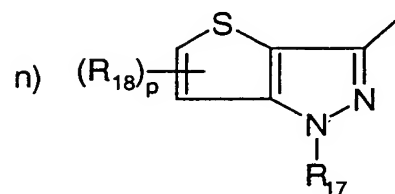
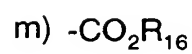
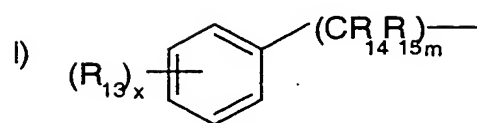
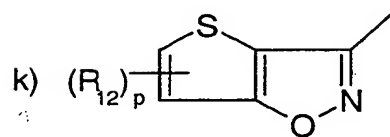
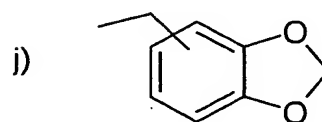
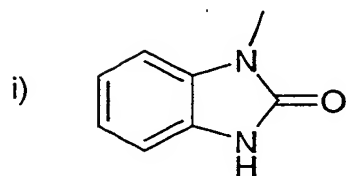
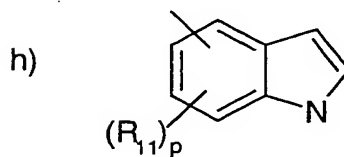
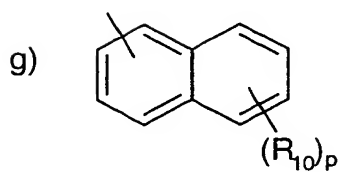
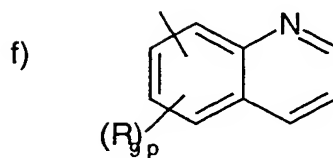
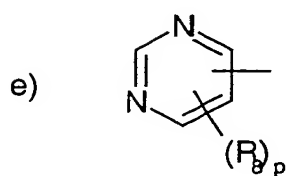
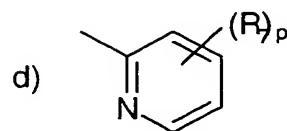
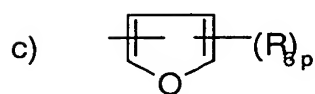
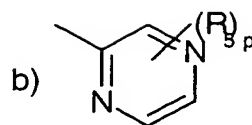
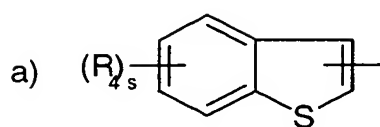
g is 1 or 2;

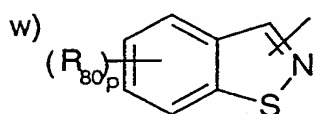
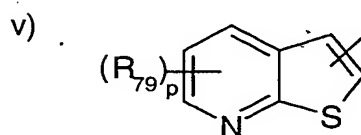
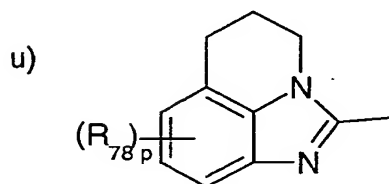
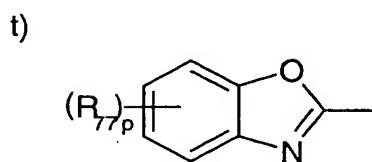
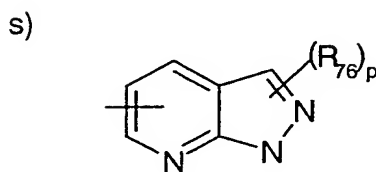
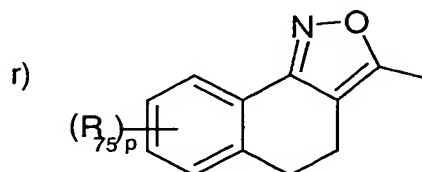
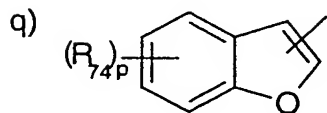
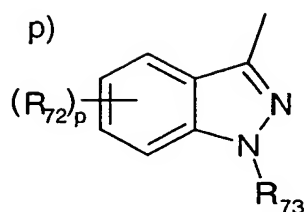
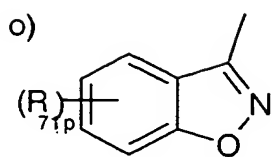
each R₃ is independently hydrogen, C₁-C₆alkyl, or



wherein w is 1, 2, or 3;

R is selected from the group consisting of (a) – (w):



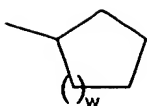


wherein

each R_4 , R_5 , R_6 , R_7 , R_8 , R_9 , R_{10} , R_{11} , R_{12} and R_{18} is independently hydrogen, C_1 - C_6 alkyl, halogen, trifluoromethyl, $-CO_2C_1$ - C_6 alkyl or $-CH_2OC_1$ - C_6 alkyl;

each R_{71} , R_{72} , R_{74} and R_{80} is independently hydrogen, C_1 - C_6 alkyl, C_1 - C_6 alkoxy, halogen, trifluoromethyl, $-CO_2C_1$ - C_6 alkyl or $-CH_2OC_1$ - C_6 alkyl;

R_{73} is hydrogen, alkyl, pyridyl, benzyl, $-CH_2CF_3$, $-CO_2C_1$ - C_6 alkyl, phenyl optionally substituted with halogen, trifluoromethyl, trifluoromethoxy or R_{73} is



wherein w is 1, 2 or 3 as hereinbefore defined;

each R_{75} is hydrogen, halogen, C_1 - C_6 alkyl or C_1 - C_6 alkoxy;

each R_{76} is hydrogen, halogen, -CN or C_1 - C_6 alkyl;

each R_{77} is hydrogen, halogen, C_1 - C_6 alkyl or C_1 - C_6 alkoxy;

each R_{78} hydrogen, halogen, C_1 - C_6 alkyl or C_1 - C_6 alkoxy;

each R_{79} hydrogen, halogen, C_1 - C_6 alkyl or C_1 - C_6 alkoxy;

p, s and x are 0, 1, or 2;

each R_{13} is independently hydrogen, C_1 - C_6 alkyl, halogen, benzyl, trifluoromethyl, C_1 - C_6 alkoxy, nitro, -CN, or -COC $_1$ - C_6 alkyl;

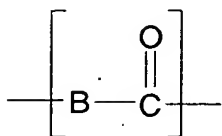
R_{16} is C_1 - C_6 alkyl;

each R_{14} and R_{15} is independently hydrogen or C_1 - C_6 alkyl;

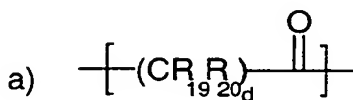
R_{17} is hydrogen, C_1 - C_6 alkyl, Ar, -COAr, -CONHAr or -SO₂-Ar wherein

Ar is a phenyl group which is optionally mono- or di-substituted with substituents independently selected from C_1 - C_6 alkyl, halogen, trifluoromethyl, C_1 - C_6 alkoxy, nitro, CN and COC $_1$ - C_6 alkyl; and

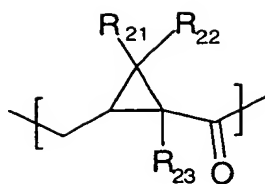
m is 0, 1, or 2;



represents a group selected from (a) - (f):

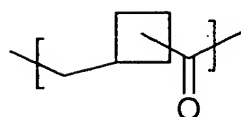


b)

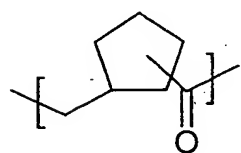


5

(c)

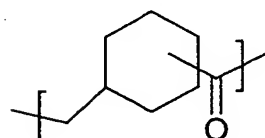


(d)

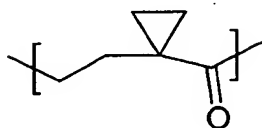


10

(e)



(f)



15

wherein

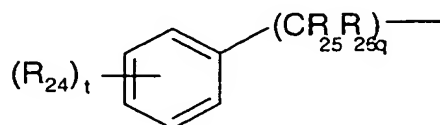
each R_{19} and R_{20} is independently hydrogen, hydroxy or C_1 - C_6 alkyl;

R_{21} , R_{22} , and R_{23} are each independently hydrogen or C_1 - C_3 linear alkyl; and

d is 3 or 4;

20

- R_1 is a) hydrogen;
 b) C_1 - C_6 alkyl optionally mono- or di-substituted with hydroxy; or
 c)



5

wherein

each R_{24} is independently hydrogen or C_1 - C_6 alkyl;

each R_{25} and R_{26} is independently hydrogen or

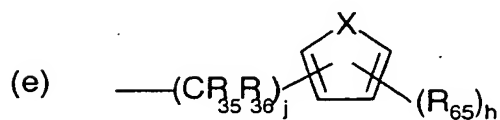
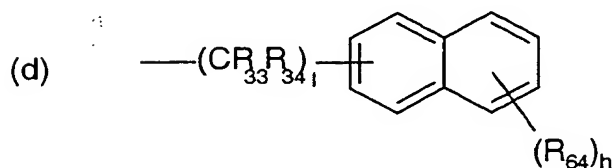
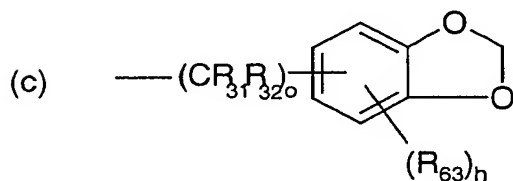
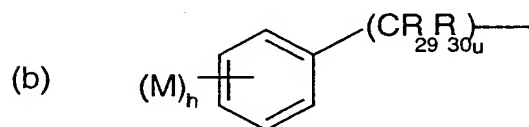
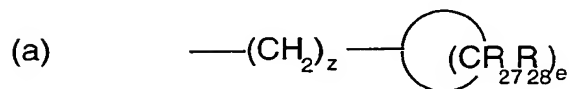
C_1 - C_6 alkyl;

t is 0 or 1; and

q is 0 or 1;

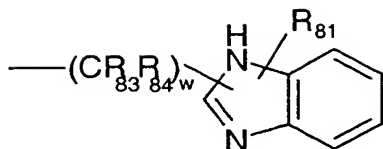
10

R_2 is a group selected from (a) - (j):



(f)

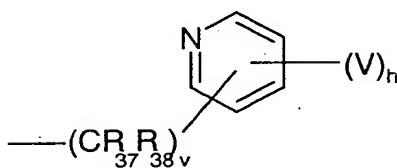
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10

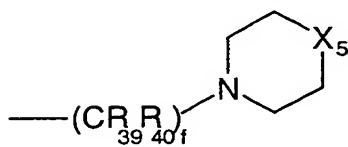
(g)

15



(h)

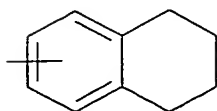
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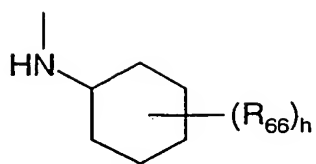


(i)

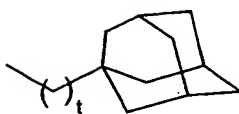
25

(j)

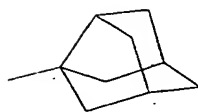




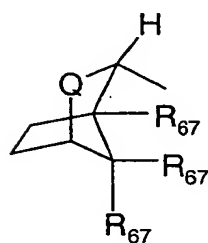
5 (k)



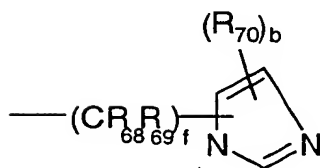
10 (l)



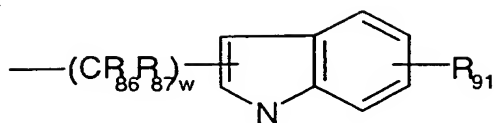
15 (m)



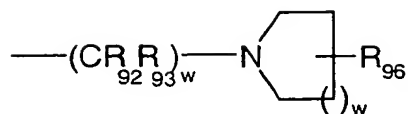
(n)



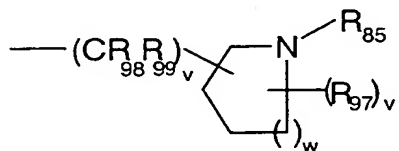
o)



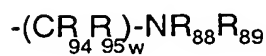
p)



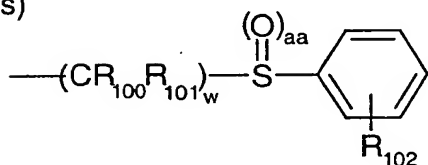
q)



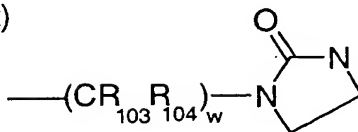
r)



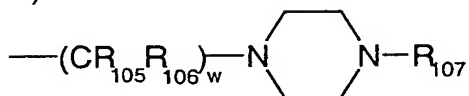
s)



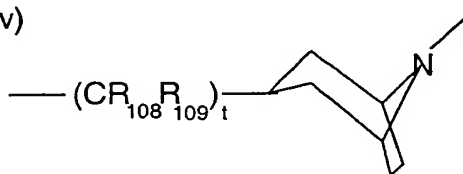
t)



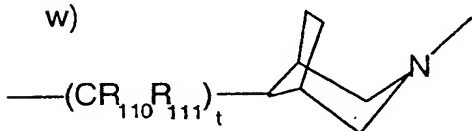
u)



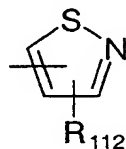
v)



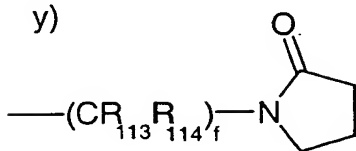
w)



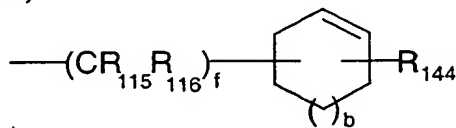
x)



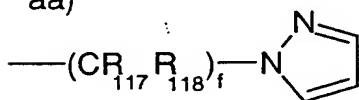
y)



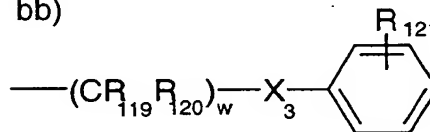
z)



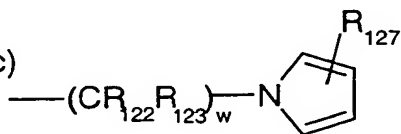
aa)



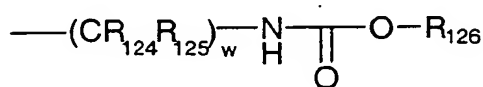
bb)



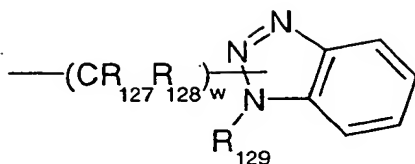
cc)



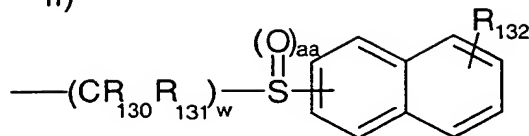
dd)



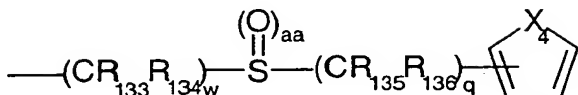
ee)



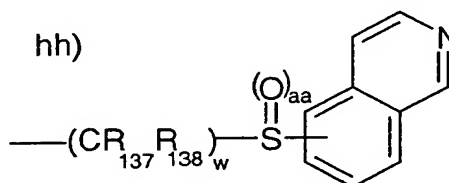
ff)



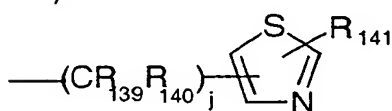
gg)



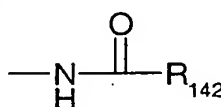
hh)



ii)



jj)



wherein

each R₂₇ and R₂₈ is independently selected from:

- (1) hydrogen;
- (2) C₁-C₆alkyl;
- (3) C₁-C₆alkoxy;
- (4) -CO₂-R₄₃ wherein R₄₃ is hydrogen or C₁-C₆alkyl;
- (5) hydroxy;
- (6) -(CH₂)_a-OR₄₄ wherein a is 1, 2 or 3 and R₄₄ is hydrogen or C₁-C₆alkyl;
- (7) -(CO)-NR₄₅R₄₆

wherein R₄₅ and R₄₆ are each independently hydrogen, C₁-C₂alkyl, or R₄₅ and R₄₆ taken together form a 5-membered monocyclic ring;

z is 0 or 1;

e is 2, 3, 4, 5, 6 or 7;

h is 0, 1, 2 or 3;

u is 0, 1, 2, 3 or 4;

o is 0 or 1;

l is 0 or 1;

j is 0, 1, 2 or 3;

v is 0, 1, 2, 3 or 4;

w is 1, 2 or 3 as hereinbefore defined;

f is 1, 2, 3 or 4;

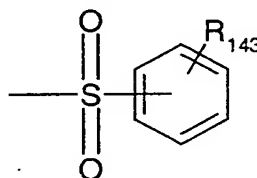
t is 0 or 1 as hereinbefore defined;

b is 0, 1 or 2;

q is 0 or 1 as hereinbefore defined;

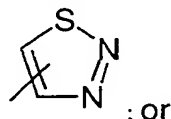
aa is 0 or 2;

X is O, S or NR_{90} wherein R_{90} is hydrogen, $\text{C}_1\text{-C}_6$ alkyl, or



wherein R_{143} is hydrogen or alkyl;

each M and V is a group independently selected from hydrogen, halogen, $\text{C}_1\text{-C}_6$ alkyl, $\text{C}_1\text{-C}_6$ alkoxy, trifluoromethyl, hydroxy, phenyl, phenoxy, $-\text{SO}_2\text{NH}_2$ or



or $-\text{NR}_{48}\text{R}_{49}$ wherein R_{48} and R_{49} are each independently hydrogen or $\text{C}_1\text{-C}_2$ alkyl;

each R_{31} , R_{32} , R_{33} , R_{34} , R_{35} , R_{36} , R_{37} , R_{38} , R_{39} , R_{40} , R_{68} , and R_{69} is independently hydrogen or $\text{C}_1\text{-C}_6$ alkyl;

each R_{29} , R_{30} is independently hydrogen, phenyl or $\text{C}_1\text{-C}_6$ alkyl;

each R_{83} , R_{84} , R_{86} , R_{87} , R_{88} , R_{89} , R_{92} , R_{93} , R_{98} , R_{99} , R_{94} , R_{95} , R_{100} , R_{101} , R_{103} , R_{104} , R_{105} , R_{106} , R_{108} , R_{109} , R_{110} , R_{111} , R_{113} , R_{114} , R_{115} , R_{116} , R_{117} , R_{118} , R_{119} , R_{120} , R_{122} , R_{123} ,

$R_{124}, R_{125}, R_{127}, R_{128}, R_{130}, R_{131}, R_{133}, R_{134}, R_{135}, R_{136},$

$R_{137}, R_{138}, R_{139}$ and R_{140} is independently hydrogen or C_1 - C_6 alkyl;

each R_{63}, R_{64} and R_{65} is independently hydrogen, halogen, C_1 - C_6 alkyl or C_1 - C_6 alkoxy;

each R_{66} is independently hydrogen, hydroxy, C_1 - C_6 alkyl or C_1 - C_6 alkoxy;

Q is $CH_2, CHOH$ or $C=O$;

X_5 is O or S ;

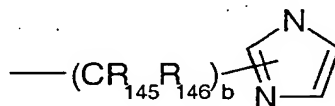
each R_{67} is independently hydrogen or C_1 - C_6 alkyl;

R_{70} is hydrogen, C_1 - C_6 alkyl, halogen, nitro or a phenyl group optionally mono-substituted with C_1 - C_6 alkyl, halogen or trifluoromethyl;

R_{81} is hydrogen, C_1 - C_6 alkyl, or $-CO_2C_1$ - C_6 alkyl;

R_{91} is hydrogen, halogen, C_1 - C_6 alkyl or C_1 - C_6 alkoxy;

R_{96} is hydrogen, C_1 - C_6 alkyl or



wherein R_{145} and R_{146} are each independently hydrogen or C_1 - C_6 alkyl and b is 0, 1 or 2 as hereinbefore defined;

R_{97} is hydrogen or C_1 - C_6 alkyl;

each R_{102} is independently hydrogen, halogen, C_1 - C_6 alkyl or C_1 - C_6 alkoxy;

R_{107} is hydrogen or C_1 - C_6 alkyl;

each R_{121} is independently hydrogen, halogen, C_1 - C_6 alkyl or C_1 - C_6 alkoxy;

R_{127} is hydrogen or C_1 - C_6 alkyl;

R_{126} is C_1 - C_6 alkyl or benzyl;

R_{129} is hydrogen or C_1 - C_6 alkyl;

R_{132} is hydrogen, C_1 - C_6 alkyl, halogen or C_1 - C_6 alkoxy;

X_3 is O or $-NR_{127}$ wherein R_{127} is hydrogen or

C₁-C₆alkyl;

X₄ is O, S or -NR₁₄₃ wherein R₁₄₃ is hydrogen or

C₁-C₆alkyl;

R₁₄₁ is hydrogen, C₁-C₆alkyl or amino;

R₁₄₂ is benzyl or phenyl each of which may be optionally substituted with C₁-C₆alkyl, halogen or C₁-C₆alkoxy;

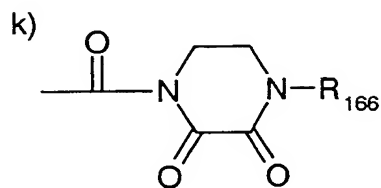
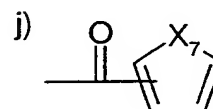
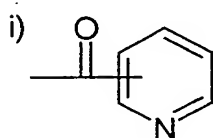
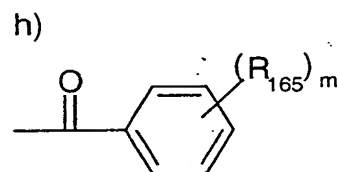
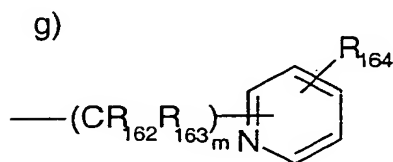
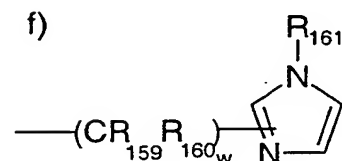
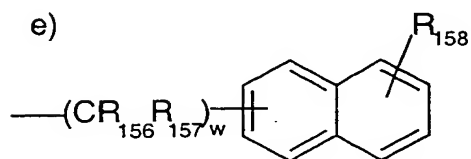
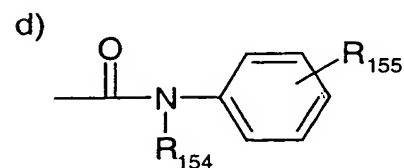
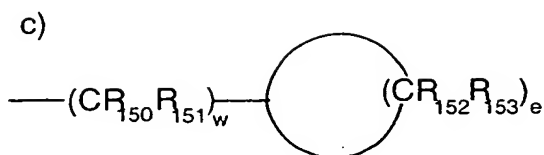
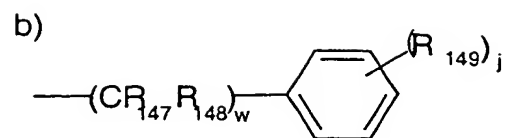
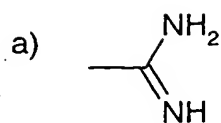
R₁₄₄ is hydrogen or C₁-C₆alkyl;

R₈₅ is hydrogen, C₁-C₆alkoxy, C₁-C₆alkyl, -CO₂C₁-C₆alkyl,

C(O)C₁-C₆alkyl or a group selected from the following:

5

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wherein

j is 0, 1, 2 or 3 as hereinbefore defined;

w is 1, 2 or 3 as hereinbefore defined;

m is 0, 1 or 2 as hereinbefore defined;

e is 2, 3, 4, 5, 6 or 7 as hereinbefore defined;

each R_{147} , R_{148} , R_{150} , R_{151} , R_{152} , R_{153} , R_{156} , R_{157} , R_{159} , R_{160} , R_{162} and R_{163} is independently hydrogen or C_1 - C_6 alkyl;

R_{149} is hydrogen, halogen, C_1 - C_6 alkyl, phenoxy, trifluoromethyl or trifluoromethoxy;

R_{155} is hydrogen, halogen or C_1 - C_6 alkyl;

R_{158} is hydrogen or C_1 - C_6 alkyl;

R_{161} is hydrogen or C_1 - C_6 alkyl;

R_{164} is hydrogen, halogen, C_1 - C_6 alkyl or trifluoromethyl;

R_{165} is hydrogen, C_1 - C_6 alkyl or halogen;

X_7 is O or S or $-NR_{167}$ wherein R_{167} is hydrogen or C_1 - C_6 alkyl;

R_{166} is hydrogen or C_1 - C_6 alkyl;

or R_1 and R_2 are joined together to form a 5-, 6-, or 7-membered monocyclic saturated ring, and in which the ring is optionally mono- or di-substituted, the substituents independently selected from:

(1) C_1 - C_6 alkyl;

(2) $-\text{CO}_2-(C_1\text{-}C_6\text{alkyl})$;

(3) $-\text{NR}_{50}\text{R}_{51}$ wherein R_{50} and R_{51} are each independently hydrogen, C_1 - C_6 alkyl, or a phenyl group which is optionally mono- or disubstituted with substituents independently selected from C_1 - C_6 alkyl, halogen or trifluoromethyl;

(4) $-\text{C}(\text{O})\text{phenyl}$ wherein the phenyl group is optionally mono- or disubstituted with substituents independently selected from C_1 - C_6 alkyl, halogen or trifluoromethyl;

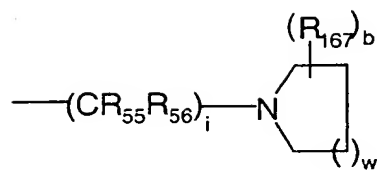
(5) $-(\text{CH}_2)_m\text{OR}_{52}$ wherein R_{52} is hydrogen or C_1 - C_2 alkyl or a phenyl group which is optionally mono- or disubstituted with substituents independently selected from C_1 - C_6 alkyl, halogen or trifluoromethyl, and m is 0, 1 or 2 as hereinbefore defined;

(6) $-\text{NR}_{54}-\text{COR}_{53}$ wherein R_{54} is hydrogen or C_1 - C_6 alkyl and R_{53} is hydrogen or C_1 - C_2 alkyl ;

(7) $=\text{O}$;

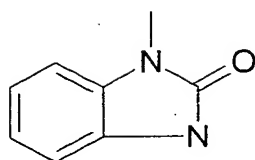
(8) $-\text{CN}$;

(9)

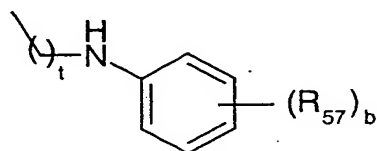


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(10)

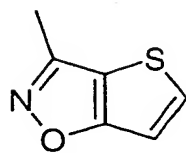


(11)



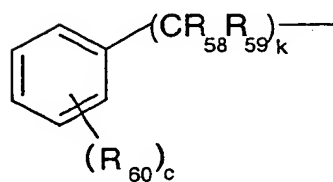
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(12)

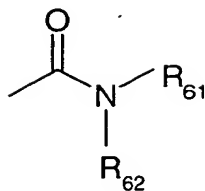


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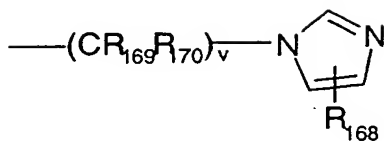
(13)



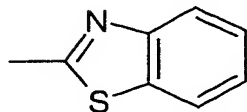
(14)



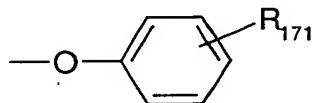
(15)



(16)



(17)



wherein

b is 0, 1 or 2 as hereinbefore defined;

w is 1, 2 or 3 as hereinbefore defined;

t is 0 or 1 as hereinbefore defined;

i is 0, 1 or 2;

v is 0, 1, 2, 3 or 4 as hereinbefore defined;

k is 0 or 1 as hereinbefore defined;

c are 0, 1 or 2;

R₁₆₇ is hydrogen or C₁-C₆alkyl;each R₅₅, R₅₆, R₅₈, R₅₉, R₁₆₉ and R₁₇₀ is independently hydrogen or C₁-C₆alkyl;each R₅₇ is independently hydrogen, halogen or C₁-C₆alkyl;each R₆₀ is independently hydrogen, halogen or

C₁-C₆alkyl;

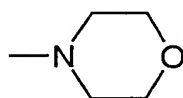
R₆₁ and R₆₂ are each independently hydrogen or

C₁-C₆alkyl;

R₁₆₈ is hydrogen, thienyl or furanyl;

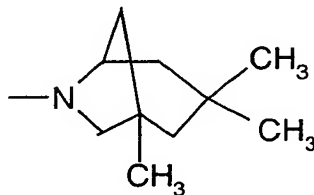
R₁₇₁ is hydrogen, C₁-C₆alkyl, halogen, trifluoromethyl or trifluoromethoxy;

or R₁ and R₂ are joined together to form a group of formula X;



(X)

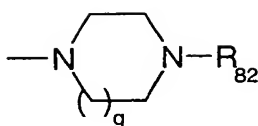
or R₁ and R₂ are joined together to form the group of formula (Y)



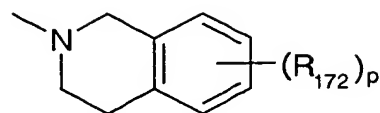
(Y)

or R₁ and R₂ are joined together to form any of the following groups:

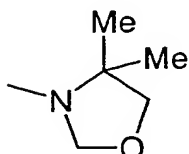
(a)



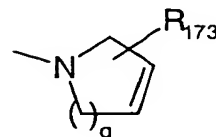
(b)



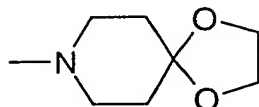
(c)



(d)



(e)



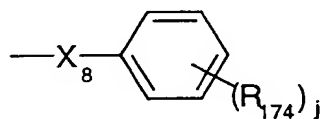
wherein

g is 1 or 2 as hereinbefore defined;

p is 0, 1 or 2 as hereinbefore defined;

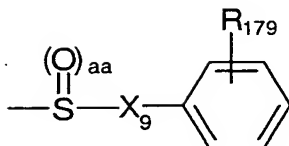
R₁₇₂ is hydrogen, C₁-C₆alkyl or C₁-C₆alkoxy;R₁₇₃ is hydrogen, C₁-C₆alkyl or phenyl optionally mono- or disubstituted with C₁-C₆alkyl or halogen; andR₈₂ is a substituent selected from the following groups:(a) C₁-C₆alkyl optionally substituted with hydroxy;(b) C₁-C₆alkenyl;(c) C₁-C₆alkoxy;(d) -(CH₂)OC₁-C₆alkyl;

(e)

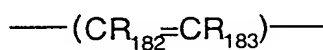
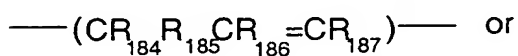
wherein X is $-(\text{CR}_{175}\text{R}_{176})_h-$ or $-(\text{CR}_{177}=\text{CR}_{188})-$ wherein each R₁₇₄ is independentlyhydrogen, C₁-C₆alkyl, halogen,trifluoromethyl, C₁-C₆alkoxy or benzyloxy;

h is 0, 1, 2 or 3 as hereinbefore defined;
 each R_{175} , R_{176} , R_{177} and R_{178} is
 independently hydrogen or C_1 - C_6 alkyl; and
 j is 0, 1, 2 or 3 as hereinbefore defined;

(f)



wherein X_9 is $-(CR_{180}R_{181})_j-$ or



wherein

aa is 0 or 2 as hereinbefore defined;

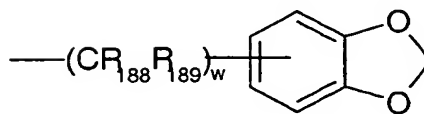
R_{179} is hydrogen, C_1 - C_6 alkyl, halogen,
 trifluoromethyl,

C_1 - C_6 alkoxy, benzyloxy or phenyl;

each R_{180} , R_{181} , R_{182} , R_{183} , R_{184} , R_{185} , R_{186}
 and R_{187} is independently hydrogen or C_1 -
 C_6 alkyl;

j is 0, 1, 2, or 3 as hereinbefore defined;

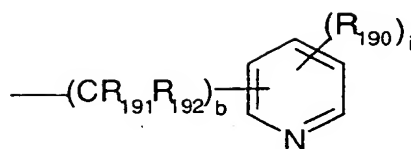
(g)



wherein w is 1, 2 or 3 as hereinbefore defined;

each R_{188} and R_{189} is independently
 hydrogen or C_1 - C_6 alkyl;

(h)



wherein

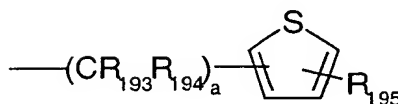
i is 0, 1 or 2 as hereinbefore defined;

each R_{190} is independently hydrogen, alkyl or halogen;

b is 0, 1, or 2 as hereinbefore defined;

each R_{191} and R_{192} is independently hydrogen or $\text{C}_1\text{-C}_6$ alkyl;

(i)



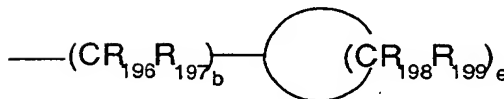
wherein

a is 1, 2 or 3 as hereinbefore defined;

each R_{193} and R_{194} is independently hydrogen or $\text{C}_1\text{-C}_6$ alkyl;

R_{195} is hydrogen, halogen or $\text{C}_1\text{-C}_6$ alkyl;

(j)



wherein

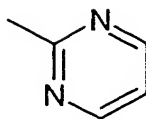
e is 2, 3, 4, 5 or 6 as hereinbefore defined;

b is 0, 1 or 2 as hereinbefore defined;

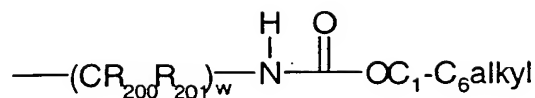
each R_{196} and R_{197} is independently hydrogen or $\text{C}_1\text{-C}_6$ alkyl;

each R_{198} and R_{199} is independently hydrogen or $\text{C}_1\text{-C}_6$ alkyl;

(k)



(l)

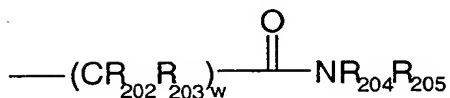


wherein

each R_{200} and R_{201} is independently hydrogen or $\text{C}_1\text{---C}_6\text{alkyl}$;

w is 1, 2 or 3 as hereinbefore defined;

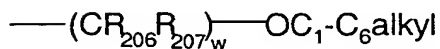
(m)



wherein

each R_{202} , R_{203} , R_{204} and R_{205} is independently hydrogen or $\text{C}_1\text{---C}_6\text{alkyl}$; and
 w is 1, 2 or 3 as hereinbefore defined;

(n)



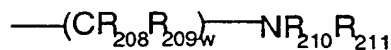
wherein

$\text{C}_1\text{---C}_6\text{alkyl}$ is optionally substituted with hydroxy;

each R_{206} and R_{207} is independently hydrogen or $\text{C}_1\text{---C}_6\text{alkyl}$; and

w is 1, 2 or 3 as hereinbefore defined;

(o)

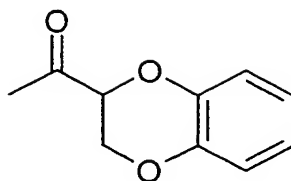


wherein

each R_{208} , R_{209} , R_{210} and R_{211} is independently hydrogen or $\text{C}_1\text{---C}_6\text{alkyl}$;

w is 1, 2 or 3 as hereinbefore defined;

(p)



with the proviso that when n is 1; and y is 0; and R_3 is hydrogen or C_1 - C_6 alkyl;

and $\left[\text{B} - \text{C}(=\text{O}) \right]$ is group (a),
and R is group:

(a) wherein R_4 is hydrogen, halogen or C_1 - C_6 alkyl, and R_1 is hydrogen or unsubstituted C_1 - C_6 alkyl, then R_2 cannot be a group of the following formula:

- (a) wherein z is 0,
- (b) wherein u is 0 and M is hydrogen, halogen, C_1 - C_6 alkyl, or trifluoromethyl,
- (c) wherein o is 0,
- (d) wherein l is 0,
- (e) wherein j is 0,
- (g) wherein v is 0, or
- (i);

and also when R is the group of formula (a), R_1 and R_2 cannot be joined together to form the group of formula Y or a 5-, 6-, or 7-membered monocyclic ring

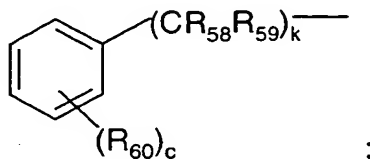
wherein said ring is unsubstituted or mono- or di-substituted with C_1 - C_6 alkyl;

(b) and R_1 is hydrogen or unsubstituted C_1 - C_6 alkyl, then R_2 cannot be a group of the following formula:

- (a),
- (b),
- (d) wherein l is 0,
- (k),
- (l), or
- (m) wherein Q is CH_2 ;

and also when R is the group of formula (b), R₁ and R₂ cannot be joined together to form a group of formula X or a group of formula Y or a 5-, 6-, or 7-membered monocyclic ring

wherein said ring is unsubstituted or mono- or di-substituted with C₁-C₆alkyl or

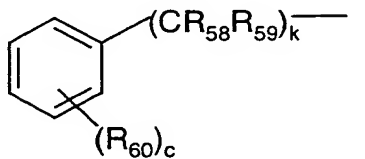


(d) and R₁ is hydrogen or unsubstituted C₁-C₆alkyl, then R₂ cannot be a group of the following formula:

- (a),
- (b) wherein u is 1,
- (d),
- (k),
- (l), or
- (m) wherein Q is CH₂;

and also when R is the group of formula (d), R₁ and R₂ cannot be joined together to form a group of formula X or a group of formula Y or a 5-, 6-, or 7-membered monocyclic ring

wherein said ring is unsubstituted or mono- or di-substituted with C₁-C₆alkyl or



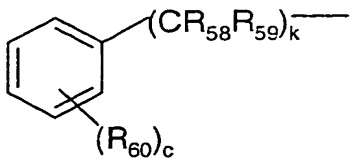
(e) and R₁ is hydrogen or unsubstituted C₁-C₆alkyl, then R₂ cannot be a group of the following formula:

- (a),
- (b),
- (d),
- (k),
- (l), or

(m) wherein Q is CH₂;

and also when R is the group of formula (e), R₁ and R₂ cannot be joined together to form a group of formula X or a group of formula Y or a 5-, 6-, or 7-membered monocyclic ring

wherein said ring is unsubstituted or mono- or di-substituted with C₁-C₆alkyl or



(f) and R₁ is hydrogen or unsubstituted C₁-C₆alkyl, then R₂ cannot be a group of the following formula:

(a),

(b),

(d),

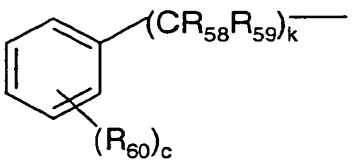
(k),

(l), or

(m) wherein Q is CH₂;

and also when R is the group of formula (f), R₁ and R₂ cannot be joined together to form a group of formula X or a group of formula Y or a 5-, 6-, or 7-membered monocyclic ring

wherein said ring is unsubstituted or mono- or di-substituted with C₁-C₆alkyl or



(g) and R₁ is hydrogen or unsubstituted C₁-C₆alkyl, then R₂ cannot be a group of the following formula:

(a),

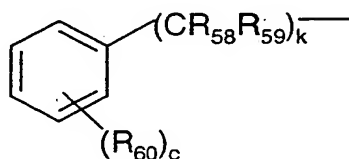
(b) wherein u is 1,

(d),

- (k),
 (l), or
 (m) wherein Q is CH₂;

and also when R is the group of formula (g), R₁ and R₂ cannot be joined together to form a group of formula X or a group of formula Y or a 5-, 6-, or 7-membered monocyclic ring

wherein said ring is unsubstituted or mono- or di-substituted with C₁-C₆alkyl or

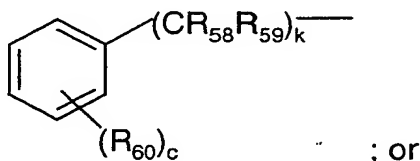


- (h) and R₁ is hydrogen or unsubstituted C₁-C₆alkyl, then R₂ cannot be a group of the following formula:

- (a),
 (b),
 (d),
 (k),
 (l), or
 (m) wherein Q is CH₂;

and also when R is the group of formula (h), R₁ and R₂ cannot be joined together to form a group of formula X or a group of formula Y or a 5-, 6-, or 7-membered monocyclic ring

wherein said ring is unsubstituted or mono- or di-substituted with C₁-C₆alkyl or



- (j), then R₁ and R₂ cannot be joined together to form a group of formula Y or a 5-, 6-, or 7-membered monocyclic ring

wherein said ring is unsubstituted or mono- or di-substituted with C₁-C₆alkyl.

47. The method of claim 46, wherein the central nervous system disorder is selected from Psychotic Disorders, Substance Dependence, Substance Abuse, Dyskinetic Disorders, Dementia, Anxiety Disorders, Sleep Disorders, Circadian Rhythm Disorders, Mood Disorders and Nausea.

48. The method of claim 47 wherein the Psychotic Disorder is Schizophrenia.

49. The method of claim 48 wherein the compound of formula IB is administered in conjunction with one or more dopamine D₁, D₂, D₄, D₅, or 5HT receptor antagonists.

50. A pharmaceutical composition comprising an effective amount of a compound of claim 1 with a pharmaceutically-acceptable carrier or diluent.

51. A pharmaceutical composition comprising an effective amount of a compound of claim 1 with a pharmaceutically-acceptable carrier or diluent in conjunction with one or more dopamine D₁, D₂, D₄, D₅ or 5HT receptor antagonists.

52. A depot pharmaceutical composition, which comprises a pharmaceutically acceptable carrier and a therapeutically effective amount of the compound of claim 1, wherein the compound contains an acylated hydroxy group, or an acylated amino group.

53. The depot pharmaceutical composition of claim 52, wherein the hydroxy group is acylated, or the amino group is acylated with (C₄-C₁₈)alkanoyl group or a (C₄-C₁₈)alkoxycarbonyl group.

54. The composition of claim 52 which contains a pharmaceutically acceptable oil.

55. The composition of claim 54 wherein the oil is selected from the group consisting of coconut oil, peanut oil, sesame oil, cotton seed oil, corn oil, soybean oil, olive oil, and synthetic esters of fatty acids and polyfunctional alcohols.

56. A method for providing a long acting antipsychotic effect, which comprises injecting into a mammal an amount of the composition of claim 52 sufficient to produce a long acting antipsychotic effect.

57. A method for providing a long acting antipsychotic effect, which comprises injecting into a mammal an amount of the composition of claim 53 sufficient to produce a long acting antipsychotic effect.

58. A method for providing a long acting antipsychotic effect, which comprises injecting into a mammal an amount of the composition of claim 54 sufficient to produce a long acting antipsychotic effect.

59. A compound of claim 1 wherein one or more of the atoms contained therein is a radionuclide.

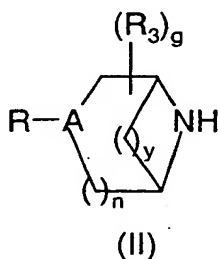
60. A compound of claim 59 wherein R is group (a), with a radiolabeled ^{14}C in the 3-position of the benzo[b]thiophene ring, R_4 is trifluoromethyl, s is 1, R_3 is hydrogen, n is 1, y is 0, and A is N.

61. A diagnostic method for monitoring neuronal functions in a mammal comprising introducing into a mammal a radiolabeled compound according to claim 59.

62. The method of claim 61 wherein said diagnostic method is performed using single positron emission computed tomography.

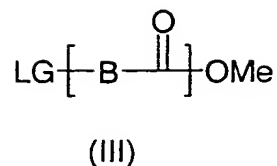
63. A process for preparing a compound of formula I of claim 1 which comprises:

(a) reacting a compound of formula (II):



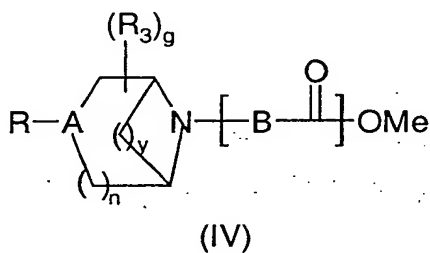
wherein R_3 , g, y, R, A and n are as defined in formula I of claim 1;

with a compound of formula (III)

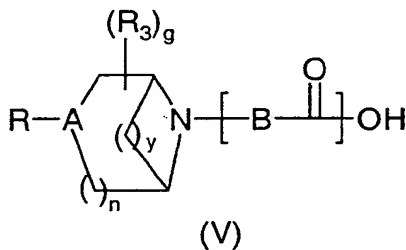


5 wherein "LG" is a suitable leaving group selected from chlorine, bromine, iodine and

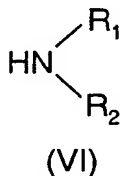
mesyl; and $\left[\text{B} - \text{C}(=\text{O}) \right]$ is as defined in formula I of claim 1;
to provide a compound of formula (IV)



10 (b) hydrolyzing a compound of formula (IV) to provide a compound of formula (V)



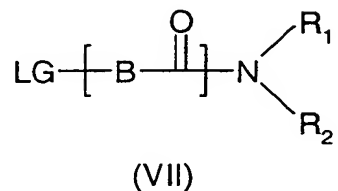
15 and (c) reacting a compound of formula (V) with a compound of formula (VI)



wherein R₁ and R₂ are as defined in formula (I) of claim 1;
to provide the compound of formula (I).

64. A process for preparing compounds of formula I of claim 1 which comprises:

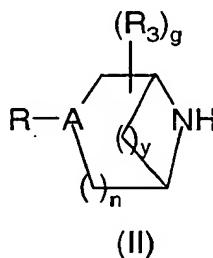
(a) reacting a compound of formula (VII)



5 wherein "LG" is a suitable leaving group selected from chlorine, bromine, iodine and

mesyl; and $\left[\text{B}-\overset{\text{O}}{\parallel}\right]$, R_1 and R_2 are as defined in formula I of claim 1;

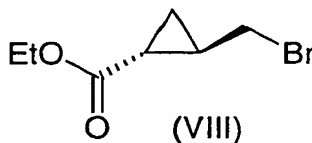
with a compound of formula (II)



10 wherein R_3 , g , y , R , A and n are as defined in formula I of claim 1;

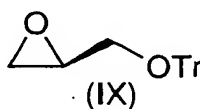
to provide the compound of formula (I).

15 65. A process for preparing a compound of formula (VIII)



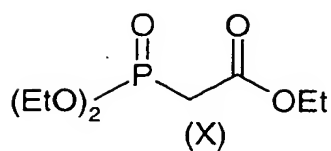
comprising the steps of:

20 a) contacting a compound of formula (IX)

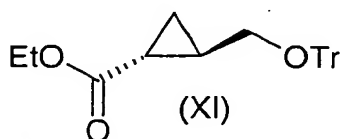


with a reagent of formula (X)

25



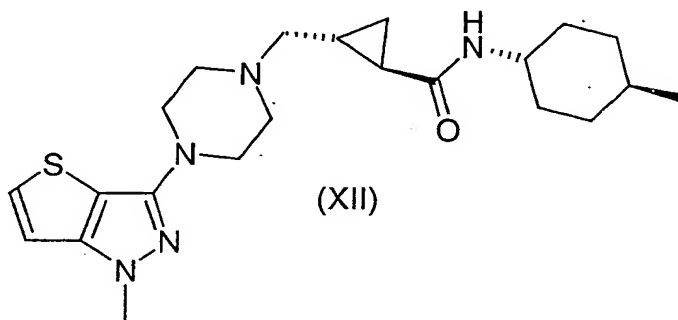
to provide a compound of formula (XI)



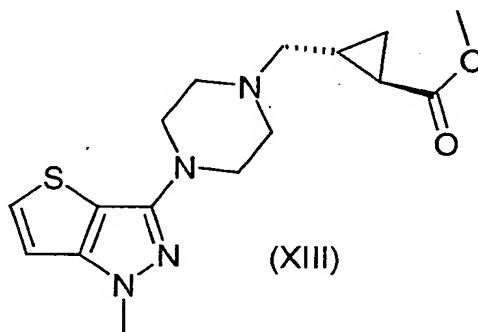
; and

(b) treating the compound of formula (XI) with triphenylphosphine followed by bromine to provide the compound of formula (VIII).

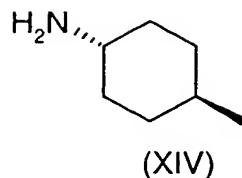
66. A process for preparing a compound of formula (XII)



comprising the step of coupling a compound of formula (XIII)



with a compound of formula (XIV)



to provide the compound of formula (XII).

5 67. A method of treating renal dysfunction which comprises administering to a patient in need thereof a therapeutically effective amount of the compound of claim 1.

68. The compound of claim 1 wherein R is (a).

10 69. The compound of claim 1 wherein R is (b).

70. The compound of claim 1 wherein R is (c).

15 71. The compound of claim 1 wherein R is (d).

72. The compound of claim 1 wherein R is (e).

73. The compound of claim 1 wherein R is (f).

20 74. The compound of claim 1 wherein R is (g).

75. The compound of claim 1 wherein R is (h).

25 76. The compound of claim 1 wherein R is (i).

77. The compound of claim 1 wherein R is (j).

78. The compound of claim 1 wherein R is (k).

30 79. The compound of claim 1 wherein R is (l).

80. The compound of claim 1 wherein R is (m).

81. The compound of claim 1 wherein R is (n).

82. The compound of claim 1 wherein R is (o).

5

83. The compound of claim 1 wherein R is (p).

84. The compound of claim 1 wherein R is (q).

10

85. The compound of claim 1 wherein R is (r).

86. The compound of claim 1 wherein R is (s).

87. The compound of claim 1 wherein R is (t).

15

88. The compound of claim 1 wherein R is (u).

89. The compound of claim 1 wherein R is (v).

20

90. The compound of claim 1 wherein R is (w).

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